Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	9	MAR 22	EMBASE is now updated on a daily basis
NEWS	10	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS	11	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS	12	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS	13	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS	14	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS	15	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS	16	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	17	MAY 11	KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that specific topic.

* *

COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW

Thank you in advance for your participation.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:52:21 ON 18 MAY 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:52:52 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAY 2006 HIGHEST RN 884739-24-6
DICTIONARY FILE UPDATES: 17 MAY 2006 HIGHEST RN 884739-24-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
*

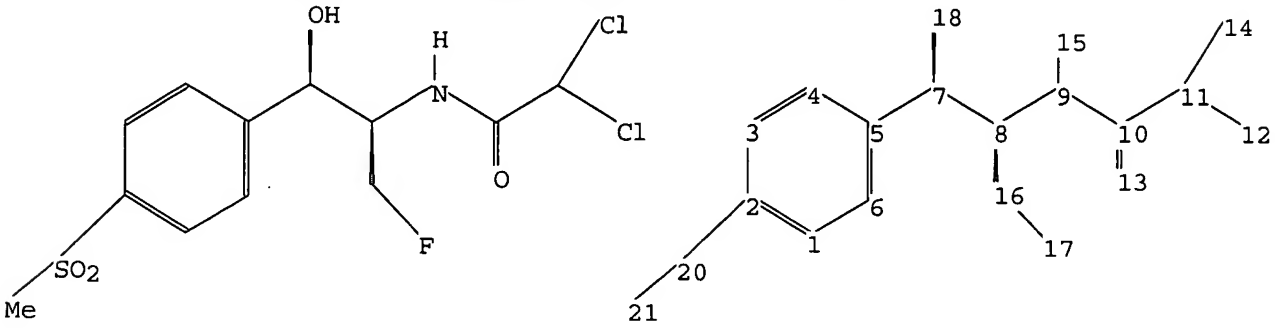
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10735892.str



chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 20 21
ring nodes :
1 2 3 4 5 6
chain bonds :
2-20 5-7 7-8 7-18 8-9 8-16 9-10 9-15 10-11 10-13 11-12 11-14 16-17 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
7-18 8-9 9-10 10-13
exact bonds :
2-20 5-7 7-8 8-16 9-15 10-11 11-12 11-14 16-17 20-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS

Stereo Bonds:

16-8 (Single Hash).

18-7 (Single Wedge).

Stereo Chiral Centers:

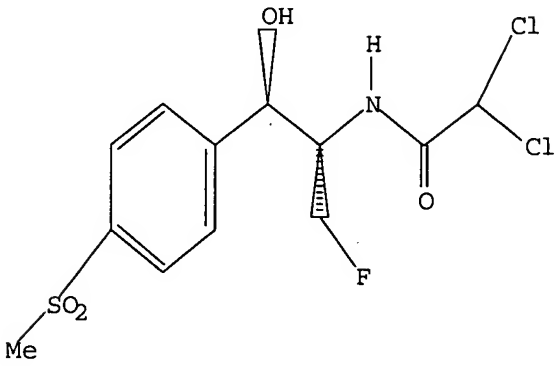
7 (Parity=Odd)
8 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 7 8

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:53:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:53:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 131 TO ITERATE

100.0% PROCESSED 131 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

```
=> FIL HCAPLUS
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       166.94     167.15

FILE 'HCAPLUS' ENTERED AT 14:53:19 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 18 May 2006  VOL 144 ISS 21
FILE LAST UPDATED: 17 May 2006  (20060517/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4          326 L3

=> s l4 and process
       2243839 PROCESS
       1517987 PROCESSES
       3347670 PROCESS
                 (PROCESS OR PROCESSES)
L5           17 L4 AND PROCESS

=> s l5 and py<=2003
      23850691 PY<=2003
L6           10 L5 AND PY<=2003

=> FIL REGISTRY
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        15.18     182.33

FILE 'REGISTRY' ENTERED AT 14:57:02 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 MAY 2006  HIGHEST RN 884739-24-6
DICTIONARY FILE UPDATES:  17 MAY 2006  HIGHEST RN 884739-24-6
```

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

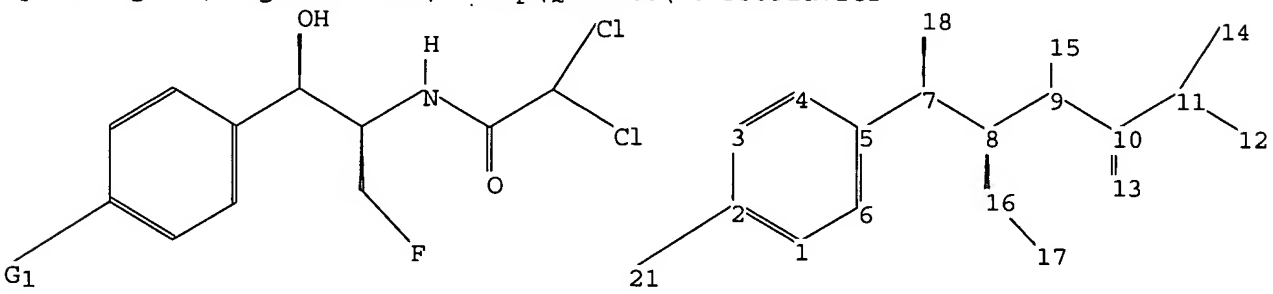
```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10735892a.str



chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 21
ring nodes :
1 2 3 4 5 6
chain bonds :
2-21 5-7 7-8 7-18 8-9 8-16 9-10 9-15 10-11 10-13 11-12 11-14 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-21 7-18 8-9 9-10 10-13
exact bonds :
5-7 7-8 8-16 9-15 10-11 11-12 11-14 16-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:NO2,SO2,SO3H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
21:CLASS

Stereo Bonds:

16-8 (Single Hash).
18-7 (Single Wedge).

Stereo Chiral Centers:

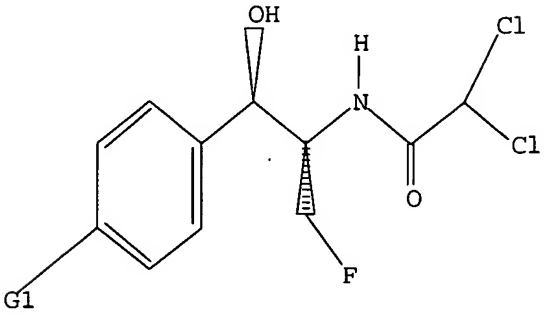
7 (Parity=Odd)
8 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 7 8

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 NO2,SO2,SO3H

Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 14:57:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.10

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 1 TO 80

L8 1 SEA SSS SAM L7

=> s l7 sss full

FULL SEARCH INITIATED 14:57:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 64 TO ITERATE

100.0% PROCESSED 64 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L9 8 SEA SSS FUL L7

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	349.27

FILE 'HCAPLUS' ENTERED AT 14:57:53 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 17 May 2006 (20060517/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:52:21 ON 18 MAY 2006)

FILE 'REGISTRY' ENTERED AT 14:52:52 ON 18 MAY 2006
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 3 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:53:19 ON 18 MAY 2006
L4 326 S L3
L5 17 S L4 AND PROCESS
L6 10 S L5 AND PY<=2003

FILE 'REGISTRY' ENTERED AT 14:57:02 ON 18 MAY 2006
L7 STRUCTURE UPLOADED
L8 1 S L7
L9 8 S L7 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:57:53 ON 18 MAY 2006

=> s l9

```
L10         329 L9

=> s l10 and py<=2003
      23850691 PY<=2003
L11         204 L10 AND PY<=2003

=> s l11 and p/dt
       5221830 P/DT
L12          31 L11 AND P/DT

=> s l12 and us/pc
       1544777 US/PC
L13          23 L12 AND US/PC

=> d l9 ibib abs hitstr tot
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:end

=> d l6 ibib abs hitstr tot

L6   ANSWER 1 OF 10  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:99557  HCAPLUS
DOCUMENT NUMBER:          132:221550
TITLE:                    Simultaneous determination of residues of
                          chloramphenicol, florfenicol, florfenicol amine, and
                          thiamphenicol in shrimp tissue by gas chromatography
                          with electron capture detection
AUTHOR(S):                Pfenning, Allen P.; Roybal, Jose E.; Rupp, Heidi S.;
                          Turnipseed, Sherri B.; Gonzales, Steve A.; Hurlbut,
                          Jeffrey A.
CORPORATE SOURCE:         Animal Drugs Research Center, Denver Federal Center,
                          U.S. Food and Drug Administration, Denver, CO,
                          80225-0087, USA
SOURCE:                   Journal of AOAC International (2000), 83(1),
                          26-30
                          CODEN: JAINEE; ISSN: 1060-3271
PUBLISHER:                AOAC International
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   A gas chromatog. (GC) method is presented for determining residues of
     chloramphenicol (CAP), florfenicol (FF), florfenicol amine (FFa), and
     thiamphenicol (TAP) in shrimp tissues, with meta-nitrochloramphenicol
     (mCAP) as the internal standard The composited shrimp is extracted with basic
     EtOAc, followed by an MeCN-basic EtOAc mixture This extract is centrifuged,
     filtered, evaporated, and reconstituted in H2O; the reconstituted extract is
     acidified, defatted with hexane, and passed through a propylsulfonic acid
     (PRS) and C18 solid-phase extraction (SPE) system. The C18 SPE column is
     eluted with MeOH, and the PRS SPE column is eluted with basic MeOH plus
     counterion. The combined eluates are evaporated, reconstituted in MeCN, and
     derivatized with Sylon BFT. After derivatization, the addition of toluene
     directly to the sample, followed by the addition of basic H2O, quenches the
     derivatization process. After centrifugation, the organic layer is
     carefully removed, and the analytes are determined by GC with electron capture
     detection. Shrimp tissues were fortified with fenicols (i.e., CAP, FF,
     FFa, and TAP) at 5, 10, 20, 40, and 80 ng/mL. Overall recoveries were 88,
     101, 91, and 84% with overall interassay (between-day) variabilities
     (i.e., relative standard deviations) of 5.3, 9.4, 12.8, and 7.4% for CAP, FF,
     FFa, and TAP, resp. The method detection limits were calculated as 0.7, 1.4,
     2.4, and 1.3 ng/g (ppb) for CAP, FF, FFa, and TAP, resp., based on a 10 g
     sample. The quantitation limit as determined empirically by this method is the
```

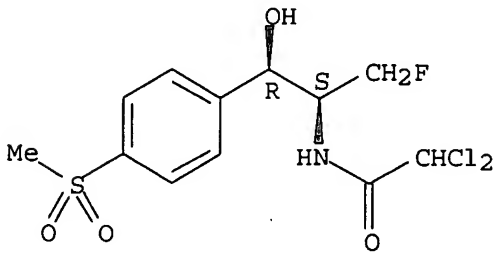
lower limit of the standard curve, which is .apprx.5 ng/g (ppb) for each analyte.

IT **73231-34-2**, Florfenicol
RL: ANT (Analyte); ANST (Analytical study)
(simultaneous determination of residues of chloramphenicol, florfenicol, florfenicol amine, and thiamphenicol in shrimp tissue by gas chromatog. with electron capture detection)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:428916 HCAPLUS
DOCUMENT NUMBER: 131:185199
TITLE: A new route to L-threo-3-[4-(methylthio)phenylserine], a key intermediate for the synthesis of antibiotics: recombinant low-specificity D-threonine aldolase-catalyzed stereospecific resolution
AUTHOR(S): Liu, J. Q.; Odani, M.; Dairi, T.; Itoh, N.; Shimizu, S.; Yamada, H.
CORPORATE SOURCE: Laboratory of Biocatalytic Chemistry, Biotechnology Research Center, Toyama Prefectural University, Toyama, 939-0398, Japan
SOURCE: Applied Microbiology and Biotechnology (**1999**), 51(5), 586-591
CODEN: AMBIDG; ISSN: 0175-7598
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

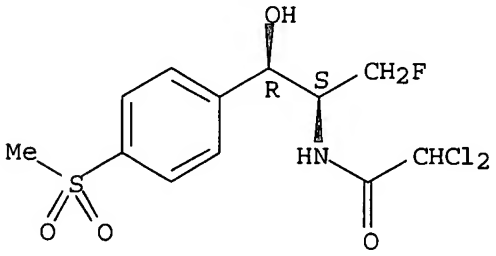
AB A new enzymic resolution **process** was established for the production of L-threo-3-[4-(methylthio)phenylserine] (MTPS), an intermediate for synthesis of antibiotics florfenicol and thiamphenicol, using the recombinant low-specificity D-threonine aldolase from Arthrobacter sp. DK-38. Chemical synthesized DL-threo-MTPS was efficiently resolved with either the purified enzyme or the intact recombinant Escherichia coli cells over-producing the enzyme. Under the optimized exptl. conditions, 100 mM (22.8 g l-1) L-threo-MTPS was obtained from 200 mM (45.5 g l-1) DL-threo-MTPS, with a molar yield of 50% and a 99.6% enantiomeric excess.

IT **73231-34-2P**, Florfenicol
RL: PNU (Preparation, unclassified); PREP (Preparation)
(enzymic resolution of DL-threo-MTPS to obtain L-threo-MTPS, an intermediate for the synthesis of antibiotics)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

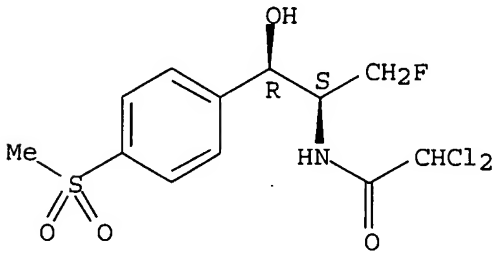
L6 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:471779 HCAPLUS
DOCUMENT NUMBER: 129:188474
TITLE: Simultaneous determination of chloramphenicol, florfenicol, and thiamphenicol residues in milk by gas chromatography with electron capture detection
AUTHOR(S): Pfenning, Allen P.; Madson, Mark R.; Roybal, Jose E.; Turnipseed, Sherri B.; Gonzales, Steve A.; Hurlbut, Jeffrey A.; Salmon, Garrett D.
CORPORATE SOURCE: Animal Drugs Research Center, Denver Federal Center, U.S. Food and Drug Administration, Denver, CO, 80225-0087, USA
SOURCE: Journal of AOAC International (**1998**), 81(4), 714-720
CODEN: JAINEE; ISSN: 1060-3271
PUBLISHER: AOAC International, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A gas chromatog. (GC) method is described for determining residues of chloramphenicol (CAP), florfenicol (FF), and thiamphenicol (TAP) in raw milk, with m-nitrochloramphenicol (mCAP) as internal standard Milk is extracted with acetonitrile, centrifuged, evaporated, reconstituted in water, and passed through a C18 solid-phase extraction (SPE) column. The SPE column is eluted with 60% methanol, and then the eluate is evaporated and derivatized with Sylon BFT {N,O-bis(trimethylsilyl)trifluoroacetamide [BSTFA]-trimethylchlorosilane [TMCS], 99 + 1}. After derivatization, toluene is added directly to the sample, followed by water, to quench the derivatization **process.** After centrifugation, the organic layer is carefully removed. Analytes are determined by GC with electron capture detection (ECD). Milk was fortified with fenicols (the collective name for CAP, FF, and TAP) at 5, 10, 20, 40 and 80 ng/mL (target level = 10 ng/mL). Overall recoveries were 92, 100, and 104% for CAP, FF, and TAP, resp. Overall inter-assay (between-day) variabilities were 6.1, 6.7, and 6.0% for CAP, FF, and TAP, resp. Raw milk samples containing incurred residues of FF were also analyzed.

IT **73231-34-2**, Florfenicol
RL: ANT (Analyte); POL (Pollutant); PRP (Properties); ANST (Analytical study); OCCU (Occurrence)
(simultaneous determination of chloramphenicol, florfenicol, and thiamphenicol

residues in milk by gas chromatog. with electron capture detection)
RN 73231-34-2 HCAPLUS
CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:994785 HCAPLUS
DOCUMENT NUMBER: 124:145633
TITLE: Intermediates for the preparation of D-threo 1-(phenyl)-1-hydroxy-2-amino-3-fluoropropane derivatives
INVENTOR(S): Jommi, Giancarlo; Chiarino, Dario; Pagliarin, Roberto
PATENT ASSIGNEE(S): Zambon S.p.A., Italy
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

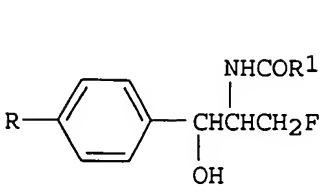
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 677511	A2	19951018	EP 1995-201522	19951018	<--
EP 677511	A3	19960724			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
EP 130633	A2	19850109	EP 1984-200772	19840529	<--
EP 130633	A3	19870805			
EP 130633	B1	19961009			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 08295678	A2	19961112	JP 1995-287772	19840602	<--
US 4743700	A	19880510	US 1985-697568	19850201	<--
US 5105009	A	19920414	US 1988-162247	19880229	<--
US 5243056	A	19930907	US 1992-841075	19920225	<--
US 5153328	A	19921006	US 1992-870777	19920421	<--
US 5332835	A	19940726	US 1993-65521	19930524	<--
US 5908937	A	19990601	US 1993-70869	19930603	<--
US 5567844	A	19961022	US 1994-240432	19940510	<--

PRIORITY APPLN. INFO.:

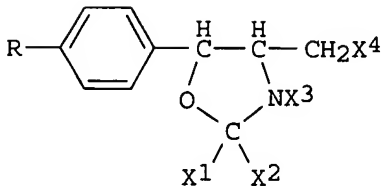
IT 1983-21417	A	19830602
IT 1984-19435	A	19840203
EP 1984-200772	A3	19840529
IT 1983-22449	A	19830805
US 1984-616086	B1	19840601
JP 1984-113774	A3	19840602

US 1985-697568	A3	19850201
US 1988-158682	B1	19880222
US 1988-162247	A3	19880229
US 1990-545145	B1	19900628
US 1992-841075	A3	19920225
US 1992-870777	A3	19920421
US 1992-913466	B1	19920715
US 1993-65521	A3	19930524

OTHER SOURCE(S): MARPAT 124:145633
GI



I



II

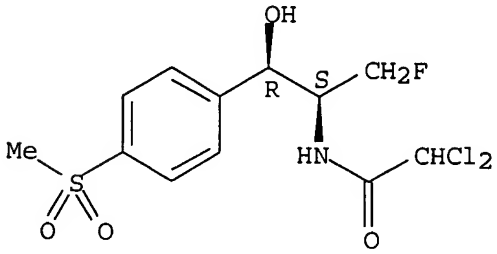
AB A **process** for preparing a D-threo compds. (I; R = MeS, MeSO, MeSO2; R1 = mono-, di- or trihalomethyl) is described via protection of both the secondary hydroxy and the amino group of a corresponding D-threo compound (II; X1 = C1-6 haloalkyl; X2X3 = covalent bond; X4 = OH, alkoxycarbonyl, trialkoxysilyl, terahydropyranyloxy, etc.) followed by fluorination (II; X4 = F) of the protected compound and treatment of the obtained intermediate.

IT **73231-34-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of D-threo 1-(phenyl)-1-hydroxy-2-amino-3-fluoropropane derivs.)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



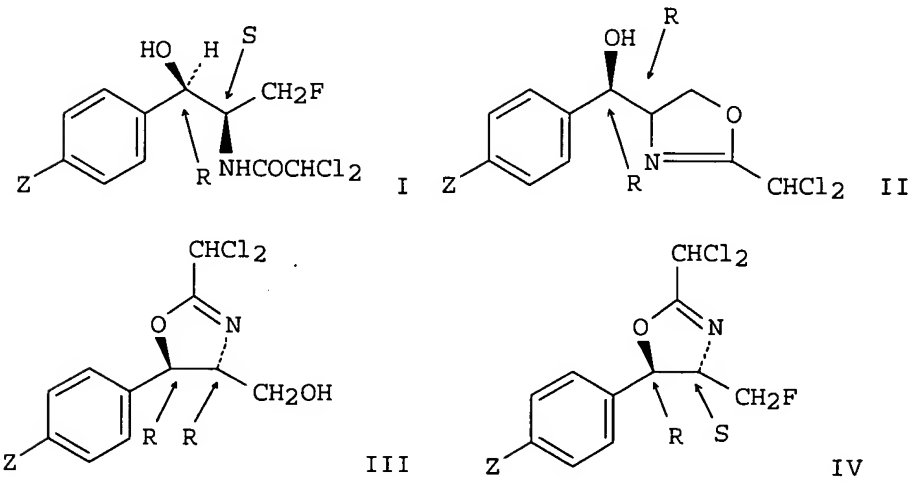
L6 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:362690 HCAPLUS
DOCUMENT NUMBER: 122:187135
TITLE: **Process** for preparing florfenicol, its analogs and oxazoline intermediates
INVENTOR(S): Clark, Jon E.; Schumacher, Doris P.; Wu, Guang Zhong
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 603, 575,

abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5382673	A	19950117	US 1993-39450	19930422 <--
WO 9207824	A1	19920514	WO 1991-US7608	19911023 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CZ 286239	B6	20000216	CZ 1993-710	19911023 <--

PRIORITY APPLN. INFO.:
US 1990-603575 B2 19901025
WO 1991-US7608 W 19911023
CS 1993-710 A 19911023

OTHER SOURCE(S): CASREACT 122:187135; MARPAT 122:187135
GI

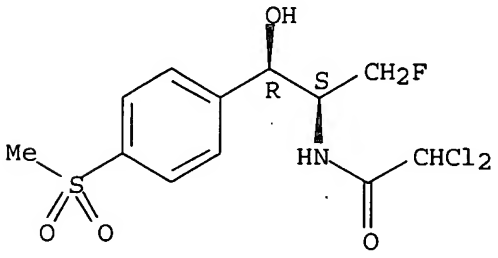


AB A **process** for preparing a compound of formula (I) comprising (a) contacting an oxazoline compound of formula (II) wherein Z is as defined herein, with a reagent capable of causing an equilibrium between oxazoline compound (II) and an oxazoline compound of formula (III) described herein, and the reagent drives the equilibrium toward oxazoline compound (III) by preferential precipitation of oxazoline compound (III); (b) contacting compound (III) with a fluorinating agent to give a fluorinated oxazoline compound of formula (IV) described herein; and (c) hydrolyzing the compound of formula (IV) to formula (I). In an alternative embodiment, the **process** is directed toward a **process** for preparing oxazoline (III) in a single step.

IT **73231-34-2P**, Florfenicol
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of florfenicol via equilibration of oxazoline intermediates)
RN 73231-34-2 HCAPLUS
CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

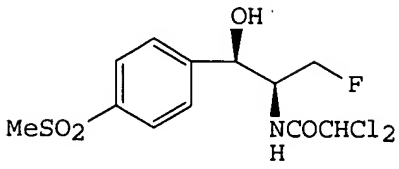
Absolute stereochemistry. Rotation (+).



L6 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:533722 HCAPLUS
DOCUMENT NUMBER: 121:133722
TITLE: Asymmetric **process** for preparing florfenicol, thiamphenicol, chloramphenicol and oxazoline intermediates
INVENTOR(S): Wu, Guang-Zhong; Tormos, Wanda I.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414764	A1	19940707	WO 1993-US12071	19931215 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5352832	A	19941004	US 1992-993932	19921218 <--
CA 2152089	AA	19940707	CA 1993-2152089	19931215 <--
AU 9457484	A1	19940719	AU 1994-57484	19931215 <--
AU 676003	B2	19970227		
EP 674618	A1	19951004	EP 1994-903599	19931215 <--
EP 674618	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 72669	A2	19960528	HU 1995-1776	19931215 <--
JP 08504819	T2	19960528	JP 1994-515232	19931215 <--
JP 3428016	B2	20030722		
AT 170835	E	19980915	AT 1994-903599	19931215 <--
ES 2120605	T3	19981101	ES 1994-903599	19931215 <--
RU 2126383	C1	19990220	RU 1995-115555	19931215 <--
PL 177891	B1	20000131	PL 1993-309393	19931215 <--
CZ 287461	B6	20001115	CZ 1995-1598	19931215 <--
SK 281701	B6	20010710	SK 1995-777	19931215 <--
FI 9502872	A	19950612	FI 1995-2872	19950612 <--
FI 109295	B1	20020628		

NO 9502425 A 19950616 NO 1995-2425 19950616 <--
PRIORITY APPLN. INFO.: US 1992-993932 A 19921218
WO 1993-US12071 W 19931215
OTHER SOURCE(S): CASREACT 121:133722; MARPAT 121:133722
GI

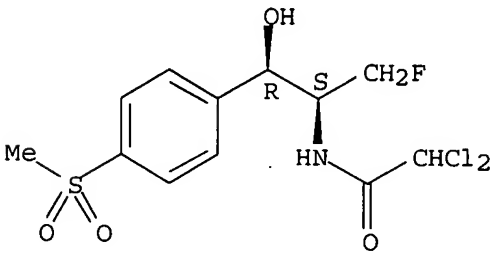


I

AB The present invention comprises a **process** for the asym. synthesis of florfenicol, I, thiamphenicol, or chloramphenicol. The S,S isomer of florfenicol is isomerized to the R,S isomer by sequentially treating with: (i) a lower alkylsulfonyl chloride and a tertiary amine base; (ii) sulfuric acid and water; and (iii) an alkali metal hydroxide. The present invention further comprises a **process** for regioselectively opening an epoxide to form a threo-oxazoline.

IT **73231-34-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from asym. starting materials)
RN 73231-34-2 HCAPLUS
CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:651345 HCAPLUS
DOCUMENT NUMBER: 117:251345
TITLE: Preparation of tans-(5R)-trisubstituted oxazolines
INVENTOR(S): Villa, Marco; Giordano, Claudio; Paiocchi, Maurizio
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

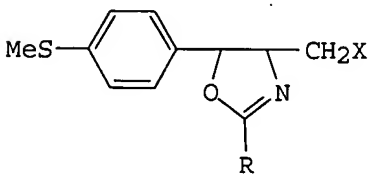
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 500177	A1	19920826	EP 1992-200431	19920215 <--
EP 500177	B1	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
AT 175408	E	19990115	AT 1992-200431	19920215 <--
ES 2130149	T3	19990701	ES 1992-200431	19920215 <--
JP 05097823	A2	19930420	JP 1992-85112	19920221 <--
JP 3361334	B2	20030107		
JP 2002356480	A2	20021213	JP 2002-142229	19920221 <--

PRIORITY APPLN. INFO.: IT 1991-MI457 A 19910221
JP 1992-85112 A3 19920221

OTHER SOURCE(S): MARPAT 117:251345

GI



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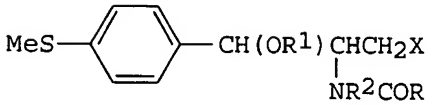


II

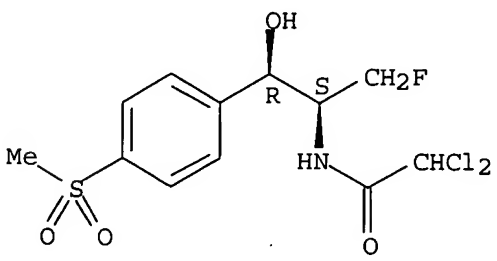
AB Title compds. I [R = (substituted) alkyl, alkenyl, Ph, or phenylalkyl; X = HO, halo, acyloxy, sulfonyloxy] are prepared by treating erythro-(3S)-II [R1 = H, acyl; R2 = H; or R1R2 = (R3)2C wherein R3 = H, alkyl, alkoxy, Ph, or both R3 = (CH2)4, (CH2)5] with an ionizing non-nucleophilic agent, in an inert solvent or diluting agent, at -20 to +100°. MeSO2Cl was added to erythro-(5R,3S)-N-acetyl-2-amino-3-[(4-methylthio)phenyl]-1,3-propanediol in CH2Cl2 and Et3N to give (4R,5R)-I (R = Me, X = MeSO3). This was reacted with KF and PEG 400 to give (4S,5R)-I (R = Me, X = F). This in MeOH was oxidized with 30% H2O2 in the presence of Na2WO4.2H2O at 60° to give (4S,5R)-2-methyl-4-(fluoromethyl)-5-(4-methylsulfonylphenyl)-2-oxazoline. This was hydrolyzed with 37% HCl under reflux to give (2S,3R)-3-(4-methylsulfonylphenyl)-3-hydroxy-2-amino-1-fluoropropane which was acylated with Cl2CHCO2Me in MeOH containing NEt3 to give florfenicol.

IT **73231-34-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, **process** for)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:511273 HCAPLUS
DOCUMENT NUMBER: 117:111273
TITLE: An improved **process** for preparing florfenicol, its analogs, and oxazoline intermediates
INVENTOR(S): Clark, Jon E.; Schumacher, Doris P.; Wu, Guang Zhong
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207824	A1	19920514	WO 1991-US7608	19911023 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2094810	AA	19920426	CA 1991-2094810	19911023 <--
CA 2094810	C	20020604		
AU 9189279	A1	19920526	AU 1991-89279	19911023 <--
AU 646910	B2	19940310		
EP 555340	A1	19930818	EP 1991-920162	19911023 <--
EP 555340	B1	19941207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507289	T2	19931021	JP 1992-500718	19911023 <--
JP 06045580	B4	19940615	JP 1991-500718	19911023 <--
ES 2067958	T3	19950401	ES 1991-920162	19911023 <--
PL 166385	B1	19950531	PL 1991-299059	19911023 <--
HU 212617	B	19960930	HU 1993-1182	19911023 <--
HU 65402	A2	19940628		
RU 2071468	C1	19970110	RU 1993-40370	19911023 <--
CZ 286239	B6	20000216	CZ 1993-710	19911023 <--
SK 281740	B6	20010710	SK 1993-377	19911023 <--
US 5382673	A	19950117	US 1993-39450	19930422 <--

PRIORITY APPLN. INFO.: US 1990-603575 A2 19901025
CS 1993-710 A 19911023
WO 1991-US7608 A 19911023

OTHER SOURCE(S): CASREACT 117:111273

AB A **process** for preparing the known antibacterial agent florfenicol and its analogs (I; Z = H, halo, NO2, MeSOn; n = 0-2) was claimed, comprising (1) reacting oxazolines (II; Z as above) with a reagent capable of causing an equilibrium between oxazolines II and oxazolines III and, preferably, driving the equilibrium toward III by precipitation, (2) fluorinating III, and (3) hydrolyzing the resulting fluoride IV. A **process** for the preparation of (dichloromethyl)oxazolines II from aminodiols V was also claimed. Thus, a slurry of 1.00 g II in 2 mL Me2CHOH saturated by NH3 was stirred for 2 h at 80°, 10 mL n-heptane was added over 2 min with vigorous stirring, and the whole was stirred for 18 h at 60-65° and cooled to 0-5° to give 950 mg III. This (2.00 g) was sealed with 10 mL CH2Cl2 and 8.15 g of 23.9%-pure Ishikawa reagent (CH2Cl2 solution) in a bomb, heated for 2 h at 100, and cooled to 0°. The content was transfered to a flask, 0.15 g NaOAc and 2 mL MeOH were added, the mixture was concentrated (.apprx.1/2 volume) in vacuo, treated by 10 mL 65:35 Me2CHOH/H2O mixture, distilled in vacuo to remove CH2Cl2, addnl. 10 mL of the aqueous Me2CHOH

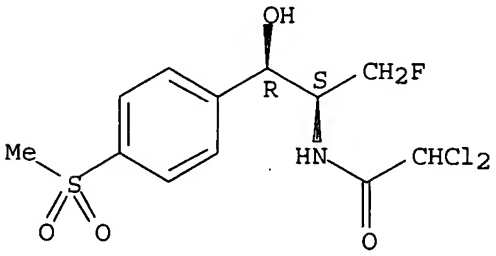
was added, and the whole stirred for 10 h at pH 3.5-4.0 and the ambient temperature to give 1.93 g of 90.0% pure florfenicol.

IT **73231-34-2P**, Florfenicol
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, **process** for)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:471094 HCAPLUS

DOCUMENT NUMBER: 115:71094

TITLE: Multi-step **process** for the stereochemical inversion of (2S,3S)-2-amino-3-phenyl-1,3-propanediols into their (2R,3R) enantiomers useful as antibiotic intermediates

INVENTOR(S): Villa, Marco; Giordano, Claudio; Cavicchioli, Silvia; Levi, Silvio

PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy

SOURCE: Eur. Pat. Appl., 4 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423705	A2	19910424	EP 1990-119803	19901016 <--
EP 423705	A3	19920506		
EP 423705	B1	19950111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2066931	T3	19950316	ES 1990-119803	19901016 <--
JP 03188050	A2	19910816	JP 1990-283237	19901019 <--
JP 2852801	B2	19990203		
US 5202484	A	19930413	US 1990-599881	19901019 <--
US 5284966	A	19940208	US 1992-992747	19921218 <--
US 5401852	A	19950328	US 1993-127506	19930928 <--

PRIORITY APPLN. INFO.: IT 1989-22075 A 19891020
US 1990-599881 A1 19901019
US 1992-992747 A3 19921218

OTHER SOURCE(S): MARPAT 115:71094

AB Both stereogenic centers of phenylaminopropanediols 4-XC6H4CH(OH)CH(NH2)CH2OH (I; X = H, NO2, MeS, MeSO, MeSO2) are inverted in 4 steps: (1) protection of the amine and secondary alc. function, (2)

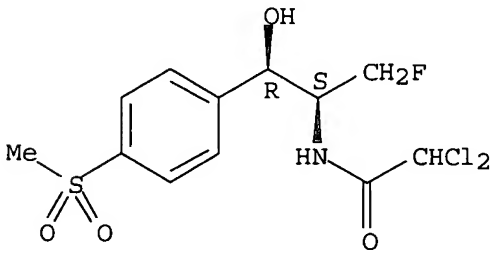
oxidation of the -CH2OH group to -CHO or -CO2H or derivs. and epimerization of the adjacent C atom, (3) reduction back to -CH2OH, and (4) deprotection and epimerization of the benzylic C atom. The method is useful for recycling waste (2S,3S)-I to (2R,3R)-I, which are intermediates for antibiotics such as chloroamphenicol and florfenicol. Thus, diacetylation (at -NH2 and -CH2OH groups) of (2S,3S)-I (X = MeS) with AcCl and Et3N in CH2Cl2 and cyclization with Me2C(OMe)2 gave (4S,5S)-5-(4-methylthiophenyl)-4-acetoxymethyl-3-acetyl-2,2-dimethyl-1,3-oxazolidine, which was treated with KOH in MeOH to give the 4-hydroxymethyl analog [(4S,5S)-II]. Oxidation of II with Me2SO and oxalyl chloride gave the 4-formyl analog (4R,5S), which was epimerized by DABCO at 40° to its (4S,5S)-isomer. Reduction back to (4R,5S)-II with NaBH4, followed by hydrolysis/epimerization with aqueous p-MeC6H4SO3H at 95° gave (2R,3R)-I (X = MeS), i.e. (2R,3R)-thiomicamine.

IT **73231-34-2P**, Florfenicol
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via stereochem. inversion of aminophenylpropanediol derivs.)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:114595 HCAPLUS

DOCUMENT NUMBER: 108:114595

TITLE: Custom synthesis and **process** development

AUTHOR(S): Tyson, Robert

CORPORATE SOURCE: Palmer Research, Holywell/Clwyd, UK

SOURCE: Chemistry & Industry (London, United Kingdom) (**1988**), (4), 118-22
CODEN: CHINAG; ISSN: 0009-3068

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

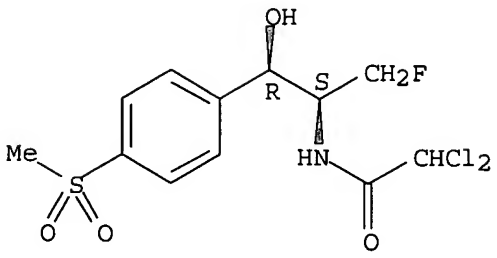
AB A review with 4 refs. on the high-pressure liquid chromatog. resolution of gossypol, the stereospecific synthesis of florfenicol, and the synthesis of 1-bromoethyl Et carbonate.

IT **73231-34-2P**, Florfenicol
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereospecific synthesis of)

RN 73231-34-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[(1S,2R)-1-(fluoromethyl)-2-hydroxy-2-[4-(methylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



=> d l13 ibib abs tot

L13 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:142793 HCAPLUS
DOCUMENT NUMBER: 140:175109
TITLE: Cyclooxygenase-2 inhibitor and antibacterial agent combination for intramammary treatment of mastitis
INVENTOR(S): Britten, Nancy J.; Waldron, Niki A.; Watts, Jeffrey L.; Hallberg, John W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 948,827.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 2004033938	A1	20040219	US 2003-393098		20030320	<--
US 2002110561	A1	20020815	US 2001-948827		20010907	<--
PRIORITY APPLN. INFO.:			US 2000-231767P	P	20000912	
			US 2001-948827	A2	20010907	
			US 2002-434985P	P	20021219	

OTHER SOURCE(S): MARPAT 140:175109
AB A method is provided for treatment of an infective condition in an udder of a milk producing animal. The method comprises intramammary administration of an antibacterial agent in combination therapy with a selective COX-2 inhibitor in therapeutically effective amts. of each. Also provided is a pharmaceutical composition comprising an antibacterial agent and a selective COX-2 inhibitor, together with one or more excipients, in a dosage form suitable for intramammary administration to a milk producing animal. A suspension containing ceftiofur sodium 25 mg/mL, valdecoxib 1.5mg/mL, Labrafil WL-2609BS 75 mg/mL, microcryst. wax 100 mg/mL, and Miglyol 812 q.s. was prepared and administered by intramammary infusion to all four quarters of an udder of a dry cow to treat mastitis.

L13 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:930743 HCAPLUS
DOCUMENT NUMBER: 140:734
TITLE: Parenteral combination therapy for infective conditions
INVENTOR(S): Britten, Nancy J.; Waldron, Niki A.; Yellig, Thomas J.; Su, Ching-chiang
PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 948,827.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003219461	A1	20031127	US 2003-393267		20030320 <--
US 2002110561	A1	20020815	US 2001-948827		20010907 <--
PRIORITY APPLN. INFO.:			US 2000-231767P	P	20000912
			US 2001-948827	A2	20010907

OTHER SOURCE(S): MARPAT 140:734

AB A method is provided for treatment or prevention of an infective condition having an inflammatory component. The method comprises parenteral administration of an antibacterial agent in an antibacterially effective amount, in combination therapy with a selective cyclooxygenase-2 inhibitor in an amount sufficient to provide systemic anti-inflammatory activity. Also provided is a parenterally deliverable pharmaceutical composition comprising an antibacterial agent and a selective COX-2 inhibitor together with one or more excipients. A ceftiofur hydrochloride suspension and a parecoxib sodium solution were administered to a subject s.c. and i.v. resp., at a dose of 4 mg ceftiofur hydrochloride/kg body weight/day and 0.6 mg parecoxib sodium/kg of body weight/day. The compns.were effective in treatment of otitis externa.

L13 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:912861 HCAPLUS
DOCUMENT NUMBER: 139:374986
TITLE: NSAID-antibiotic combination compositions and method for treating infection in cattle and swine
INVENTOR(S): Kohan, Raul E.; Varma, Kanwal J.; Simmons, Robert D.; Huq, Abu
PATENT ASSIGNEE(S): Schering-Plough Animal Health, USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003216447	A1	20031120	US 2003-441392	20030520 <--
US 2003220302	A1	20031127	US 2003-350884	20030124 <--
US 6790867	B2	20040914		
CA 2485491	AA	20031127	CA 2003-2485491	20030519 <--
WO 2003097054	A1	20031127	WO 2003-IB2152	20030519 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003228042	A1	20031202	AU 2003-228042	20030519 <--
EP 1505975	A1	20050216	EP 2003-725511	20030519
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BR 2003011126	A	20050308	BR 2003-11126	20030519
CN 1652783	A	20050810	CN 2003-811384	20030519
JP 2005526849	T2	20050908	JP 2004-505053	20030519
ZA 2004009296	A	20050518	ZA 2004-9296	20041118
NO 2004005547	A	20041217	NO 2004-5547	20041217
US 2005288261	A1	20051229	US 2005-206358	20050818 <--
PRIORITY APPLN. INFO.:			US 2002-382015P P	20020520
			WO 2003-IB2152 W	20030519
			US 2003-441392 B1	20030520

OTHER SOURCE(S): MARPAT 139:374986

AB Formulations combining a nonsteroidal antiinflammatory drug (NSAID) (e.g. flunixin) with a fluorinated chloramphenicol or thiamphenicol derivative antibiotic (e.g. florfenicol) are disclosed. Methods for using such formulations in the treatment and prevention of infectious diseases of bovines and swine, including bovine respiratory disease and swine respiratory disease, are also disclosed.

L13 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:757321 HCAPLUS
DOCUMENT NUMBER: 139:265772
TITLE: Method of administering an injectable antibiotic to an animal
INVENTOR(S): Brown, Scott A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003181398	A1	20030925	US 2003-391676	20030319 <--
CA 2476327	AA	20031002	CA 2003-2476327	20030319 <--
WO 2003079923	A1	20031002	WO 2003-US8571	20030319 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003230697	A1	20031008	AU 2003-230697	20030319 <--
EP 1485040	A1	20041215	EP 2003-723787	20030319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008523	A	20050201	BR 2003-8523	20030319
CN 1635856	A	20050706	CN 2003-804294	20030319
JP 2005520624	T2	20050714	JP 2003-577758	20030319
ZA 2004006501	A	20050621	ZA 2004-6501	20040816

PRIORITY APPLN. INFO.: US 2002-366212P P 20020321
WO 2003-US8571 W 20030319

AB A method of administering an antibiotic to an animal in need thereof includes injecting the antibiotic s.c. at the junction of a pinna with the cranium of the animal.

L13 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719286 HCAPLUS
DOCUMENT NUMBER: 139:235443
TITLE: Immediate-release pharmaceutical granule compositions containing cellulose and polymer
INVENTOR(S): Remon, Jean-paul; Vervaet, Kris
PATENT ASSIGNEE(S): Universiteit Gent, Belg.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074031	A1	20030912	WO 2003-BE40	20030305 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2477890	AA	20030912	CA 2003-2477890	20030305 <--
AU 2003215449	A1	20030916	AU 2003-215449	20030305 <--
EP 1480622	A1	20041201	EP 2003-743276	20030305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008231	A	20041228	BR 2003-8231	20030305
CN 1638738	A	20050713	CN 2003-805386	20030305
US 2005058705	A1	20050317	US 2004-933674	20040903 <--

PRIORITY APPLN. INFO.: GB 2002-5253 A 20020306
WO 2003-BE40 W 20030305

AB An immediate-release pharmaceutical granule composition comprises at least one drug classifiable as Class II or Class IV of the Biopharmaceutical Classification System, wherein the the drug constitutes 0.5% and up to about 20% by weight of the composition, the composition further comprising a first excipient selected from the group consisting of blends of a microcryst. cellulose and a swellable polymer in amts. such that the weight ratio of the the polymer to the microcryst. cellulose in the blend is above about 2:100 and up to about 30:100. The composition contains 1 or more dextrin-containing compds. selected from the group consisting of maltodextrins, cyclodextrins and derivs. thereof, and mixts. of the dextrin-containing compds. and the blends, and a wetting amount of a second excipient being a nonaq. wetting compound or meltable compound and comprising a solid fraction and optionally a liquid fraction. Thus, a formulation contained hydrochlorothiazide (low water-soluble) 100, PEG-400 52.5, PEG-4000 187.5, maltodextrin 622.5, and xanthan gum 37.5 g.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:281954 HCAPLUS
DOCUMENT NUMBER: 138:276322
TITLE: Syringeable veterinary florfenicol formulations for use under cold weather conditions
INVENTOR(S): Carpenter, John R.; Mihalik, Richard
PATENT ASSIGNEE(S): Phoenix Scientific, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003068339	A1	20030410	US 2001-969451	20011002 <--
WO 2003028648	A2	20030410	WO 2002-US31263	20021001 <--
WO 2003028648	A3	20030626		
WO 2003028648	B1	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1439828	A2	20040728	EP 2002-768937	20021001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-969451 A 20011002
WO 2002-US31263 W 20021001

AB An antibiotic formulation for animals is provided. This formulation includes florfenicol, a preservative and N-methyl-2-pyrrolidone (NMP). The florfenicol and preservative are dissolved in the N-methyl-2-pyrrolidone solvent. The formulation is suitable for veterinary applications in colder temps. More specifically, it is usable during winter months because it has a lower cold temperature viscosity than previous formulations resulting in it having superior syringeability. Approx. 10 kg of NMP are added to a container. Once the NMP is added, it is agitated with a mixer. Next, approx. 300 g a mixture of Me, ethyl- and propylparaben are added, while the container is being agitated. After adding the parabens, approx. 10 kg florfenicol was added during agitation until the florfenicol is dissolved. Thereafter, more NMP is added until the formulation is 10 L. After the balance of solvent is added, the formulation is agitated for more than 15 min. Once thoroughly mixed, the formulation is filtered directly into bottles.

L13 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:133947 HCAPLUS
DOCUMENT NUMBER: 138:163506
TITLE: Control of Lyme disease spirochete
INVENTOR(S): Borchert, Jeff N.; Poche, Richard M.

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 3 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003036564	A1	20030220	US 2002-215568	20020808 <--

PRIORITY APPLN. INFO.: US 2001-310884P P 20010808

AB A method is described for controlling the spread of Lyme disease spirochete from rodents which have been infected. The method involves orally administering to the rodents a composition which includes an antibiotic, e.g. chloramphenicol, thiamphenicol, florfenicol, or a salt or derivative thereof, or mixts. of antibiotics, capable of killing the spirochete. Bait compns. are described which include an antibiotic. The bait compns. may be solid or liquid

L13 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:408529 HCAPLUS
DOCUMENT NUMBER: 136:406872
TITLE: An antibiotic/analgesic formulation for use in veterinary medicine
INVENTOR(S): Mihalik, Richard
PATENT ASSIGNEE(S): Phoenix Scientific, Inc., USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002041899	A1	20020530	WO 2001-US44315	20011127 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6787568	B1	20040907	US 2000-723064	20001127 <--
CA 2430091	AA	20020530	CA 2001-2430091	20011127 <--
AU 2002017891	A5	20020603	AU 2002-17891	20011127 <--
EP 1345611	A1	20030924	EP 2001-997308	20011127 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-723064 A 20001127
WO 2001-US44315 W 20011127

AB A formulation that includes a mixture of at least one antibiotic, at least one analgesic, and at least one solvent is provided. The antibiotic and the analgesic are dissolved in the solvent to form a formulation that is suitable for veterinary applications. This formulation can be administered to animals as a pour-on or an injectable formulation.

Florfenicol amounting to 30% of the final formulation was added to N-methyl-2-pyrrolidone and mixed until it was dissolved. A quantity of flunixin meglumine amounting to 4.15% of the final formulation was then added and mixed into the solution, followed by the addition of 2% benzyl alc. With continued agitation, a supplemental amount of N-methyl-2-pyrrolidone was added in an amount sufficient to completely dissolve any remaining undissolved components. The resulting formulation can be used for parenterally or as a pour-on.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:495183 HCAPLUS
DOCUMENT NUMBER: 131:134658
TITLE: The use of combinations of active agents consisting of antimicrobially active substances and plant extracts containing terpenes in veterinary medicine
INVENTOR(S): Schleicher, Werner; Salamon, Ernst
PATENT ASSIGNEE(S): Boehringer Ingelheim Vetmedica G.m.b.H., Germany
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938521	A1	19990805	WO 1998-EP542	19980202 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2318833	AA	19990805	CA 1998-2318833	19980202 <--
AU 9862150	A1	19990816	AU 1998-62150	19980202 <--
AU 749923	B2	20020704		
EP 1054681	A1	20001129	EP 1998-904169	19980202 <--
EP 1054681	B1	20030507		
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AT 239488	E	20030515	AT 1998-904169	19980202 <--
PT 1054681	T	20030930	PT 1998-904169	19980202 <--
ES 2193514	T3	20031101	ES 1998-904169	19980202 <--
US 2003113385	A1	20030619	US 2002-219180	20020815 <--

PRIORITY APPLN. INFO.:		
EP 1998-904169	A	19980202
WO 1998-EP542	A	19980202
US 2000-601422	B1	20001017

AB The title synergistic combinations of active agents can be used for treating microbially caused diseases, especially mastitis and metritis, in farm animals and small animals. The antimicrobial agents are especially representatives of aminopenicillins, benzylpenicillins, cephalosporins, and macrolide antibiotics, and are combined with exts. of Leptospermum or Melaleuca.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:599317 HCAPLUS
DOCUMENT NUMBER: 127:262670
TITLE: Preparation of intermediates for florfenicol.
INVENTOR(S): Towson, James C.; Vashi, Dhiru B.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5663361	A	19970902	US 1996-699271	19960819 <--
ZA 9707406	A	19980218	ZA 1997-7406	19970818 <--
WO 9807709	A1	19980226	WO 1997-US14205	19970818 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740638	A1	19980306	AU 1997-40638	19970818 <--
AU 714495	B2	20000106		
EP 922040	A1	19990616	EP 1997-938263	19970818 <--
EP 922040	B1	20041201		
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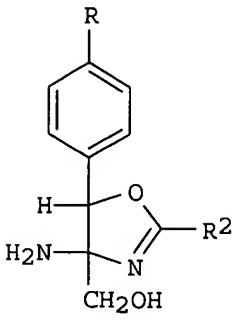
BR 9711318	A	19990817	BR 1997-11318	19970818 <--
CN 1233244	A	19991027	CN 1997-198768	19970818 <--
CN 1097583	B	20030101		
JP 2000501424	T2	20000208	JP 1998-510805	19970818 <--
JP 3274868	B2	20020415		
IL 128574	A1	20020421	IL 1997-128574	19970818 <--
CA 2264116	C	20020702	CA 1997-2264116	19970818 <--
CA 2264116	AA	19980226		
AT 283847	E	20041215	AT 1997-938263	19970818
PT 922040	T	20050228	PT 1997-938263	19970818
ES 2234026	T3	20050616	ES 1997-938263	19970818
TW 381075	B	20000201	TW 1997-86111823	19970819 <--
NO 9900756	A	19990218	NO 1999-756	19990218 <--
NO 312962	B1	20020722		
HK 1017890	A1	20050401	HK 1999-102946	19990710

PRIORITY APPLN. INFO.: US 1996-699271 A 19960819
WO 1997-US14205 W 19970818
OTHER SOURCE(S): CASREACT 127:262670; MARPAT 127:262670
GI



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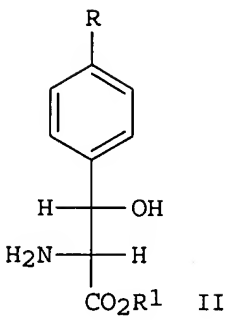


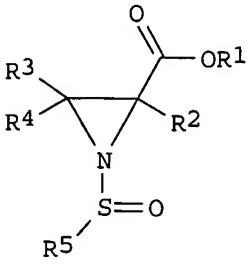
II

AB Title compds. [I; R = H, NO2, MeS, MeSO2, alkyl; R2 = aryl, haloaryl, (substituted) PhCH2, alkyl, cycloalkyl, haloalkyl], were prepared by reduction of carboxylates [II; R1 = H, alkyl, cycloalkyl, (substituted) PhCH2, aryl; R as above] to the corresponding alc. followed by reaction with R2CN. Thus, II (R = MeSO2; R1 = Et) was stirred with KBH4 in MeOH for several h; glycerin was added to destroy excess KBH4 and MeOH was removed by distillation The resulting mixture was heated with PhCN at 105° followed by heating for 18 h to give 81% I (R = MeSO2; R2 = Ph).

L13 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:167574 HCAPLUS
DOCUMENT NUMBER: 124:232231
TITLE: Aziridine compounds, methods of preparation, and reactions thereof, as intermediates for thiamphenicol and analogs
INVENTOR(S): Davis, Franklin A.; Zhou, Ping; Reddy, Gaddampally Venkat
PATENT ASSIGNEE(S): Drexel University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9530672	A1	19951116	WO 1995-US4911		19950501 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5789599	A	19980804	US 1994-239097		19940506 <--
AU 9524260	A1	19951129	AU 1995-24260		19950501 <--
PRIORITY APPLN. INFO.:			US 1994-239097	A	19940506
			WO 1995-US4911	W	19950501

OTHER SOURCE(S): CASREACT 124:232231; MARPAT 124:232231
GI



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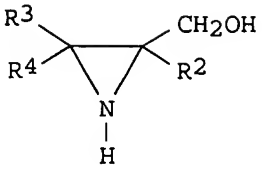


II

AB Novel N-sulfinyl-2-carboxy- and N-hydrogen-2-(hydroxymethyl)aziridine compds. I and II and their stereoisomers are provided [wherein R1-R5 = H, hydrocarbyl radicals containing 1-40 C atoms, 0-40 halo atoms, and 0-10 heteroatoms (B, N, O, S, P, Si, Se); both R3 and R4 ≠ H; sulfinyl moiety may be racemic or optically enriched]. The asym. synthesis of N-sulfinylaziridines is readily accomplished in high diastereomeric purity and good yield by a Darzens-type reaction of a metal enolate of an α-halo ester with an enantiopure sulfinimine. Ring-opening of the aziridines affords α-amino acids and otherwise difficult to prepare syn-β-hydroxy-α-amino acids, both key structural units found in many bioactive materials. The N-sulfinyl radical may be selectively removed from the novel aziridine compds. by treatment with acid or base. Alternatively, the N-sulfinyl radical may be oxidized to provide the corresponding N-sulfonyl-aziridine, or reduced to form the corresponding 1H-2-(hydroxymethyl)aziridine, either of which may subsequently be ring-opened to provide precursors to bioactive compds. For example, BrCH2CO2Me was lithiated with (Me3Si)2NLi in THF, and reacted with (S)-(+)-N-benzylidene-p-toluenesulfonimine to give 65% (2S,3S)-I [R1 = Me, R2 = R4 = H, R3 = Ph, R5 = p-MeC6H4] (III), plus 6% (2S,3R)-isomer byproduct. The analog of III with R3 = p-(MeS)C6H4 was similarly prepared, then reduced to the corresponding hydroxymethyl compound II, hydrolyzed to an aminopropanediol, N-dichloroacetylated, and oxidized with m-ClC6H4C(O)OOH, to give the antibiotic thiamphenicol.

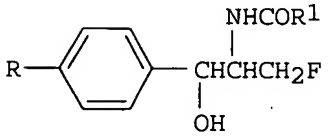
L13 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:994785 HCAPLUS
DOCUMENT NUMBER: 124:145633
TITLE: Intermediates for the preparation of D-threo 1-(phenyl)-1-hydroxy-2-amino-3-fluoropropane derivatives
INVENTOR(S): Jommi, Giancarlo; Chiarino, Dario; Pagliarin, Roberto
PATENT ASSIGNEE(S): Zambon S.p.A., Italy
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 677511	A2	19951018	EP 1995-201522	19951018 <--
EP 677511	A3	19960724		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 130633	A2	19850109	EP 1984-200772	19840529 <--
EP 130633	A3	19870805		

EP 130633	B1	19961009			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 08295678	A2	19961112	JP 1995-287772		19840602 <--
US 4743700	A	19880510	US 1985-697568		19850201 <--
US 5105009	A	19920414	US 1988-162247		19880229 <--
US 5243056	A	19930907	US 1992-841075		19920225 <--
US 5153328	A	19921006	US 1992-870777		19920421 <--
US 5332835	A	19940726	US 1993-65521		19930524 <--
US 5908937	A	19990601	US 1993-70869		19930603 <--
US 5567844	A	19961022	US 1994-240432		19940510 <--
PRIORITY APPLN. INFO.:			IT 1983-21417	A	19830602
			IT 1984-19435	A	19840203
			EP 1984-200772	A3	19840529
			IT 1983-22449	A	19830805
			US 1984-616086	B1	19840601
			JP 1984-113774	A3	19840602
			US 1985-697568	A3	19850201
			US 1988-158682	B1	19880222
			US 1988-162247	A3	19880229
			US 1990-545145	B1	19900628
			US 1992-841075	A3	19920225
			US 1992-870777	A3	19920421
			US 1992-913466	B1	19920715
			US 1993-65521	A3	19930524

OTHER SOURCE(S): MARPAT 124:145633

GI



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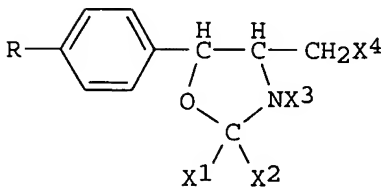

II

AB A process for preparing a D-threo compds. (I; R = MeS, MeSO, MeSO2; R1 = mono-, di- or trihalomethyl) is described via protection of both the secondary hydroxy and the amino group of a corresponding D-threo compound (II; X1 = C1-6 haloalkyl; X2X3 = covalent bond; X4 = OH, alkoxycarbonyl, trialkoxysilyl, terahydropyranyloxy, etc.) followed by fluorination (II; X4 = F) of the protected compound and treatment of the obtained intermediate.

L13 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1995:362690 HCAPLUS
DOCUMENT NUMBER:	122:187135
TITLE:	Process for preparing florfenicol, its analogs and oxazoline intermediates
INVENTOR(S):	Clark, Jon E.; Schumacher, Doris P.; Wu, Guang Zhong
PATENT ASSIGNEE(S):	Schering Corp., USA
SOURCE:	U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 603, 575, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	**Patent**
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5382673	A	19950117	US 1993-39450	19930422 <--
WO 9207824	A1	19920514	WO 1991-US7608	19911023 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CZ 286239	B6	20000216	CZ 1993-710	19911023 <--
PRIORITY APPLN. INFO.:			US 1990-603575 B2	19901025
			WO 1991-US7608 W	19911023
			CS 1993-710 A	19911023

OTHER SOURCE(S): CASREACT 122:187135; MARPAT 122:187135

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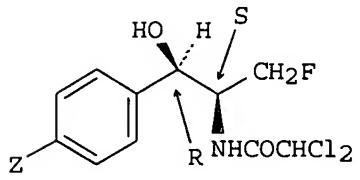

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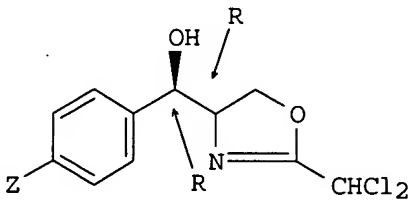

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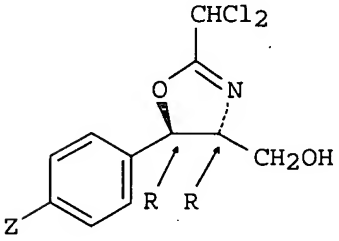
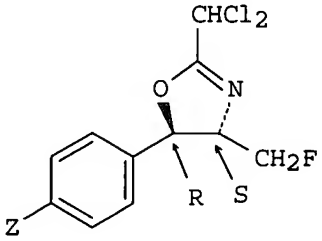


III



IV

AB A process for preparing a compound of formula (I) comprising (a) contacting an oxazoline compound of formula (II) wherein Z is as defined herein, with a reagent capable of causing an equilibrium between oxazoline compound (II) and an oxazoline compound of formula (III) described herein, and the reagent drives the equilibrium toward oxazoline compound (III) by preferential precipitation of oxazoline compound (III); (b) contacting compound (III) with a fluorinating agent to give a fluorinated oxazoline compound of formula (IV) described herein; and (c) hydrolyzing the compound of formula (IV) to formula (I). In an alternative embodiment, the process is directed toward a process for preparing oxazoline (III) in a single step.

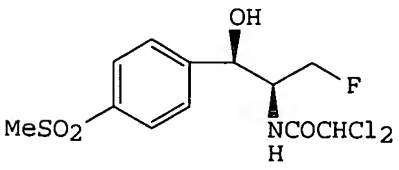
L13 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:533722 HCAPLUS
DOCUMENT NUMBER: 121:133722
TITLE: Asymmetric process for preparing florfenicol, thiamphenicol, chloramphenicol and oxazoline intermediates

INVENTOR(S): Wu, Guang-Zhong; Tormos, Wanda I.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414764	A1	19940707	WO 1993-US12071	19931215 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5352832	A	19941004	US 1992-993932	19921218 <--
CA 2152089	AA	19940707	CA 1993-2152089	19931215 <--
AU 9457484	A1	19940719	AU 1994-57484	19931215 <--
AU 676003	B2	19970227		
EP 674618	A1	19951004	EP 1994-903599	19931215 <--
EP 674618	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 72669	A2	19960528	HU 1995-1776	19931215 <--
JP 08504819	T2	19960528	JP 1994-515232	19931215 <--
JP 3428016	B2	20030722		
AT 170835	E	19980915	AT 1994-903599	19931215 <--
ES 2120605	T3	19981101	ES 1994-903599	19931215 <--
RU 2126383	C1	19990220	RU 1995-115555	19931215 <--
PL 177891	B1	20000131	PL 1993-309393	19931215 <--
CZ 287461	B6	20001115	CZ 1995-1598	19931215 <--
SK 281701	B6	20010710	SK 1995-777	19931215 <--
FI 9502872	A	19950612	FI 1995-2872	19950612 <--
FI 109295	B1	20020628		
NO 9502425	A	19950616	NO 1995-2425	19950616 <--

PRIORITY APPLN. INFO.: US 1992-993932 A 19921218
WO 1993-US12071 W 19931215

OTHER SOURCE(S): CASREACT 121:133722; MARPAT 121:133722
GI



I

AB The present invention comprises a process for the asym. synthesis of florfenicol, I, thiamphenicol, or chloramphenicol. The S,S isomer of florfenicol is isomerized to the R,S isomer by sequentially treating with: (i) a lower alkylsulfonyl chloride and a tertiary amine base; (ii) sulfuric acid and water; and (iii) an alkali metal hydroxide. The present invention further comprises a process for regioselectively opening an epoxide to form a threo-oxazoline.

L13 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:511273 HCAPLUS
DOCUMENT NUMBER: 117:111273
TITLE: An improved process for preparing florfenicol, its analogs, and oxazoline intermediates
INVENTOR(S): Clark, Jon E.; Schumacher, Doris P.; Wu, Guang Zhong
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207824	A1	19920514	WO 1991-US7608	19911023 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2094810	AA	19920426	CA 1991-2094810	19911023 <--
CA 2094810	C	20020604		
AU 9189279	A1	19920526	AU 1991-89279	19911023 <--
AU 646910	B2	19940310		
EP 555340	A1	19930818	EP 1991-920162	19911023 <--
EP 555340	B1	19941207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507289	T2	19931021	JP 1992-500718	19911023 <--
JP 06045580	B4	19940615	JP 1991-500718	19911023 <--
ES 2067958	T3	19950401	ES 1991-920162	19911023 <--
PL 166385	B1	19950531	PL 1991-299059	19911023 <--
HU 212617	B	19960930	HU 1993-1182	19911023 <--
HU 65402	A2	19940628		
RU 2071468	C1	19970110	RU 1993-40370	19911023 <--
CZ 286239	B6	20000216	CZ 1993-710	19911023 <--
SK 281740	B6	20010710	SK 1993-377	19911023 <--
US 5382673	A	19950117	US 1993-39450	19930422 <--

PRIORITY APPLN. INFO.: US 1990-603575 A2 19901025
CS 1993-710 A 19911023
WO 1991-US7608 A 19911023

OTHER SOURCE(S): CASREACT 117:111273

AB A process for preparing the known antibacterial agent florfenicol and its analogs (I; Z = H, halo, NO2, MeSOn; n = 0-2) was claimed, comprising (1) reacting oxazolines (II; Z as above) with a reagent capable of causing an equilibrium between oxazolines II and oxazolines III and, preferably, driving the equilibrium toward III by precipitation, (2) fluorinating III, and (3) hydrolyzing the resulting fluoride IV. A process for the preparation of (dichloromethyl)oxazolines II from aminodiols V was also claimed. Thus, a slurry of 1.00 g II in 2 mL Me2CHOH saturated by NH3 was stirred for 2 h at 80°, 10 mL n-heptane was added over 2 min with vigorous stirring, and the whole was stirred for 18 h at 60-65° and cooled to 0-5° to give 950 mg III. This (2.00 g) was sealed with 10 mL CH2Cl2 and 8.15 g of 23.9%-pure Ishikawa reagent (CH2Cl2 solution) in a bomb, heated for 2 h at 100, and cooled to 0°. The content was transfered to a flask, 0.15 g NaOAc and 2 mL MeOH were added, the mixture was concentrated (.apprx.1/2 volume) in vacuo, treated by 10 mL 65:35 Me2CHOH/H2O mixture, distilled in vacuo to remove CH2Cl2, addnl. 10 mL of the aqueous Me2CHOH

was added, and the whole stirred for 10 h at pH 3.5-4.0 and the ambient temperature to give 1.93 g of 90.0% pure florfenicol.

L13 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:448113 HCAPLUS
DOCUMENT NUMBER: 117:48113
TITLE: Preparation of N-phenylalkyl amides as herbicides
INVENTOR(S): Camaggi, Giovanni; Chiarino, Dario; Fantucci, Mario; Meazza, Giovanni
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy; Agrimont S.p.A.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 454067	A1	19911030	EP 1991-106536	19910423 <--
EP 454067	B1	19950927		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5336664	A	19940809	US 1991-688828	19910422 <--
AT 128323	E	19951015	AT 1991-106536	19910423 <--
ES 2078378	T3	19951216	ES 1991-106536	19910423 <--
US 5556829	A	19960917	US 1994-250619	19940527 <--

PRIORITY APPLN. INFO.: IT 1990-20130 A 19900424
US 1991-688828 A1 19910422

OTHER SOURCE(S): MARPAT 117:48113
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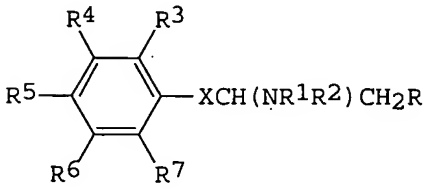

I

AB Title compds. I [R = H, alkyl, HO, alkoxy, F, Cl, Br, cyano, alkylcarbonyloxy, alkylcarbonylthio, H5, alkylthio; one of R1 and R2 is H, C1-3 alkyl and the other is R8CO, R9SO2, (R10O)2P(O), wherein R8 = H, alkoxy, carbamoyl, HO2C, alkoxycarbonyl, (substituted) C1-3 alkyl, etc.; R9 = alkyl, mono- or dichloroalkyl, (substituted) Ph; R10 = H, alkyl; R3-R7 = H, Br, Cl, F, F3C, alkyl, etc.; X = CO, CH(OR11), R11 = H, alkyl, acyl, nitric, phosphoric, or sulfuric acid residue, CH(O2CR12), R12 = H, (substituted) alkyl, CHCl, CHBr, CHF] and a salt thereof, are prepared CH2:CHCOCl in CH2Cl2 and 1N NaOH were added dropwise, by keeping the pH value at 9 and temperature <5° into a mixture of (1R,2S)-2-amino-3-fluoro-1-(4-methylsulfonylphenyl)-1-propanol HCl in CH2Cl2 and 1N NaOH to give after workup (1S,2R)-I [R = F, R1 = R3 = R4 = R6 = R7 = H, R2 = CH2:CHCO, R5 = MeSO2, X = CH(OH)] (II). In preemergence application at 2 kg/ha, II inhibited 80-100% growth of Stellaris media, Ipomoea purpurea, and Caprella burra Pastoris.

L13 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:158935 HCAPLUS
DOCUMENT NUMBER: 116:158935
TITLE: Pharmaceutical composition of florfenicol
INVENTOR(S): Apelian, Henry M.; Coffin-Beach, David; Huq, Abu S.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5082863	A	19920121	US 1990-574430	19900829 <--
CA 2090422	AA	19920301	CA 1991-2090422	19910827 <--
CA 2090422	C	19960213		
WO 9204016	A1	19920319	WO 1991-US5899	19910827 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9184366	A1	19920330	AU 1991-84366	19910827 <--
AU 655935	B2	19950119		
ZA 9106780	A	19930301	ZA 1991-6780	19910827 <--
EP 546018	A1	19930616	EP 1991-915522	19910827 <--
EP 546018	B1	19941019		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05506245	T2	19930916	JP 1991-514851	19910827 <--
JP 06092299	B4	19941116		
HU 63558	A2	19930928	HU 1993-555	19910827 <--
ES 2065059	T3	19950201	ES 1991-915522	19910827 <--
CZ 280541	B6	19960214	CZ 1993-257	19910827 <--
PL 171466	B1	19970530	PL 1991-298148	19910827 <--
HU 213406	B	19970630	HU 1955-93005	19910827 <--
RU 2085191	C1	19970727	RU 1993-5176	19910827 <--
SK 279290	B6	19980909	SK 1993-129	19910827 <--
CN 1059276	A	19920311	CN 1991-108502	19910828 <--
CN 1041793	B	19990127		
IL 99337	A1	19950526	IL 1991-99337	19910828 <--
NO 9300616	A	19930222	NO 1993-616	19930222 <--
NO 301746	B1	19971208		
FI 101596	B1	19980731	FI 1993-844	19930225 <--

PRIORITY APPLN. INFO.: US 1990-574430 A 19900829
WO 1991-US5899 A 19910827

AB An injectable bactericidal composition for veterinary use is disclosed comprising florfenicol (I), N-methyl-2-pyrrolidone, polyethylene glycol, and a viscosity reducing agent. The composition is chemical and phys. stable, exhibits constant blood levels and does not produce undesirable side effects. An injectable solution contained I 300, N-methyl-2-pyrrolidone 250, propylene glycol 150 mg, and polyethylene glycol-300 q.s. to 1 mL.

L13 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:471094 HCAPLUS
DOCUMENT NUMBER: 115:71094
TITLE: Multi-step process for the stereochemical inversion of (2S,3S)-2-amino-3-phenyl-1,3-propanediols into their

(2R,3R) enantiomers useful as antibiotic intermediates
INVENTOR(S): Villa, Marco; Giordano, Claudio; Cavicchioli, Silvia; Levi, Silvio
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy
SOURCE: Eur. Pat. Appl., 4 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423705	A2	19910424	EP 1990-119803	19901016 <--
EP 423705	A3	19920506		
EP 423705	B1	19950111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2066931	T3	19950316	ES 1990-119803	19901016 <--
JP 03188050	A2	19910816	JP 1990-283237	19901019 <--
JP 2852801	B2	19990203		
US 5202484	A	19930413	US 1990-599881	19901019 <--
US 5284966	A	19940208	US 1992-992747	19921218 <--
US 5401852	A	19950328	US 1993-127506	19930928 <--

PRIORITY APPLN. INFO.: IT 1989-22075 A 19891020
US 1990-599881 A1 19901019
US 1992-992747 A3 19921218

OTHER SOURCE(S): MARPAT 115:71094

AB Both stereogenic centers of phenylaminopropanediols 4-XC6H4CH(OH)CH(NH2)CH2OH (I; X = H, NO2, MeS, MeSO, MeSO2) are inverted in 4 steps: (1) protection of the amine and secondary alc. function, (2) oxidation of the -CH2OH group to -CHO or -CO2H or derivs. and epimerization of the adjacent C atom, (3) reduction back to -CH2OH, and (4) deprotection and epimerization of the benzylic C atom. The method is useful for recycling waste (2S,3S)-I to (2R,3R)-I, which are intermediates for antibiotics such as chloroamphenicol and florfenicol. Thus, diacetylation (at -NH2 and -CH2OH groups) of (2S,3S)-I (X = MeS) with AcCl and Et3N in CH2Cl2 and cyclization with Me2C(OMe)2 gave (4S,5S)-5-(4-methylthiophenyl)-4-acetoxymethyl-3-acetyl-2,2-dimethyl-1,3-oxazolidine, which was treated with KOH in MeOH to give the 4-hydroxymethyl analog [(4S,5S)-II]. Oxidation of II with Me2SO and oxalyl chloride gave the 4-formyl analog (4R,5S), which was epimerized by DABCO at 40° to its (4S,5S)-isomer. Reduction back to (4R,5S)-II with NaBH4, followed by hydrolysis/epimerization with aqueous p-MeC6H4SO3H at 95° gave (2R,3R)-I (X = MeS), i.e. (2R,3R)-thiomicamine.

L13 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:198364 HCAPLUS
DOCUMENT NUMBER: 112:198364
TITLE: Preparation of (fluoromethyl)oxazolidines by pressurized fluorination of (hydroxymethyl)oxazolidines
INVENTOR(S): Schumacher, Doris P.; Clark, Jon E.; Murphy, Bruce L.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4876352	A	19891024	US 1988-244210	19880914 <--
EP 359190	A1	19900321	EP 1989-116837	19890912 <--
EP 359190	B1	19950816		
R: ES, GR				
WO 9002739	A1	19900322	WO 1989-US3826	19890912 <--
W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 8943110	A1	19900402	AU 1989-43110	19890912 <--
AU 631141	B2	19921119		
JP 03502695	T2	19910620	JP 1989-509847	19890912 <--
JP 05052830	B4	19930806		
HU 55766	A2	19910628	HU 1989-5576	19890912 <--
HU 207057	B	19930301		
EP 434732	A1	19910703	EP 1989-910485	19890912 <--
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 2075018	T3	19951001	ES 1989-116837	19890912 <--
NO 9100879	A	19910306	NO 1991-879	19910306 <--
NO 301007	B1	19970901		
FI 89914	B	19930831	FI 1991-1236	19910313 <--
FI 89914	C	19931210		

PRIORITY APPLN. INFO.: US 1988-244210 A 19880914
WO 1989-US3826 A 19890912

OTHER SOURCE(S): MARPAT 112:198364
GI For diagram(s), see printed CA Issue.
AB (Fluoromethyl)oxazolidines [I; Y = H, nitro, MeS, MeS(O), MeS(O)2; R1 = alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, etc.; R2 = H, alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, aralkyl, etc.; or R1R2 = O; R3 = H; or R2R3 = bond], useful as intermediates for antibacterials D-threo-1-aryl-2-(acylamido)-3-fluoro-1-propanols, are prepared by fluorination of the corresponding 4-(hydroxymethyl)oxazolidines II with X2CHX1CF2NR4R5 [III; X1 = Cl, F; X2 = Cl, F, CF3; R4, R5 = alkyl, or R4R5N = heterocyclyl]. II [R = Ph; R2 = R3 = H; Y = MeSO2] in CH2Cl was fluorinated with F3CCHFCF2NEt2 (preparation given) to give I (R1 = Ph; R2 = R3 = H; Y = MeSO2).

L13 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:608751 HCAPLUS
DOCUMENT NUMBER: 105:208751
TITLE: Phenyl(fluoromethyl)oxiranes as intermediates for (threo)-1-aryl-2-acylamido-3-fluoro-1-propanols
INVENTOR(S): Nagabhushan, Tattanahali; McCombie, Stuart Walter
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8601799	A1	19860327	WO 1985-US1753	19850917 <--
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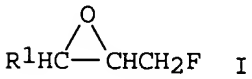
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				

US 4582918	A	19860415	US 1984-651980		19840919 <--
AU 8548097	A1	19860408	AU 1985-48097		19850917 <--
AU 577622	B2	19880929			
ZA 8507132	A	19860528	ZA 1985-7132		19850917 <--
EP 200739	A1	19861112	EP 1985-904734		19850917 <--
EP 200739	B1	19890719			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 62500519	T2	19870305	JP 1985-504073		19850917 <--
JP 05029216	B4	19930428			
HU 45243	A2	19880628	HU 1985-3894		19850917 <--
HU 196198	B	19881028			
AT 44735	E	19890815	AT 1985-904734		19850917 <--
ES 547083	A1	19861216	ES 1985-547083		19850918 <--
CA 1262553	A1	19891031	CA 1985-490974		19850918 <--
IL 76432	A1	19890228	IL 1985-76432		19850919 <--
US 4677214	A	19870630	US 1986-822497		19860127 <--
DK 8602240	A	19860514	DK 1986-2240		19860514 <--
DK 173703	B1	20010709			
US 4973750	A	19901127	US 1989-300148		19890123 <--
PRIORITY APPLN. INFO.:			US 1984-651980	A	19840919
			EP 1985-904734	A	19850917
			WO 1985-US1753	A	19850917
			US 1986-822497	A3	19860127
			US 1986-947077	B1	19861229

OTHER SOURCE(S): MARPAT 105:208751
GI



I

AB (±)-cis-Phenylfluoromethyloxiranes [cis-I; R1 = C6H3XX'-3,4; X,X' = H, NO2, SO2R2, SO2NH2, SO2NHR2, OR2, R2, cyano, halo, (un)substituted Ph; R2 = alkyl] were prepared as intermediates for the fungicidal and bactericidal (no data) propanols (±)-threo-CH(OH)R1CH(NHCOR)CH2F [II; R = methylsulfonyl, azidomethyl, dihalodeuteriomethyl, (di)halodeuterioethyl, (halo)alkyl; R1 as above] by fluorinating a 3-aryl-2-propyn-1-ol, cis-hydrogenating the product to give a cis-1-aryl-3-fluoro-1-propene, and epoxidizing the propene with a peroxyacid. Thus, 3-(4-methylsulfonyl)-2-propyn-1-ol was fluorinated and cis-hydrogenated using a Lindlar catalyst to give cis-1-(4-methylsulfonylphenyl)-3-fluoro-2-propene, which was epoxidized with m-ClC6H4COO(O)H to give cis-I (R1 = 4-MeSO2Ph). This was treated with phthalimide, hydrolyzed to give the free amine, and N-acylated with CHCl2CO2Me to give II (R = CHCl2, R1 = 4-MeSO2Ph).

L13 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:422574 HCAPLUS
DOCUMENT NUMBER: 103:22574
TITLE: Intermediates for the preparation of 1-(phenyl)-1-hydroxy-2-amino-3-fluoropropane derivatives
INVENTOR(S): Jommi, Giancarlo; Chiarino, Dario; Pagliarin, Roberto
PATENT ASSIGNEE(S): Zambon S.p.A., Italy
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**

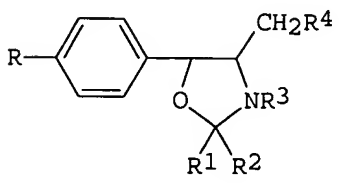
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 130633	A2	19850109	EP 1984-200772	19840529 <--
EP 130633	A3	19870805		
EP 130633	B1	19961009		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 678506	A2	19951025	EP 1995-201523	19840529 <--
EP 678506	A3	19960724		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 143953	E	19961015	AT 1984-200772	19840529 <--
ES 533355	A1	19850616	ES 1984-533355	19840601 <--
JP 60069072	A2	19850419	JP 1984-113774	19840602 <--
JP 08019085	B4	19960228		
JP 08295678	A2	19961112	JP 1995-287772	19840602 <--
US 4743700	A	19880510	US 1985-697568	19850201 <--
US 5105009	A	19920414	US 1988-162247	19880229 <--
US 5243056	A	19930907	US 1992-841075	19920225 <--
US 5153328	A	19921006	US 1992-870777	19920421 <--
US 5332835	A	19940726	US 1993-65521	19930524 <--
US 5908937	A	19990601	US 1993-70869	19930603 <--
US 5567844	A	19961022	US 1994-240432	19940510 <--
EP 677511	A2	19951018	EP 1995-201522	19951018 <--
EP 677511	A3	19960724		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				

PRIORITY APPLN. INFO.:

IT 1983-21417	A	19830602
IT 1983-22449	A	19830805
IT 1984-19435	A	19840203
EP 1984-200772	A3	19840529
US 1984-616086	B1	19840601
JP 1984-113774	A3	19840602
US 1985-697568	A3	19850201
US 1988-158682	B1	19880222
US 1988-162247	A3	19880229
US 1990-545145	B1	19900628
US 1992-841075	A3	19920225
US 1992-870777	A3	19920421
US 1992-913466	B1	19920715
US 1993-65521	A3	19930524

OTHER SOURCE(S): MARPAT 103:22574
GI



I

AB The title compds. I [R = MeS, MeSO2, MeSO, O2N; R1 = H, alkyl, (un)substituted Ph, (un)substituted phenylalkyl; R1R2 = alkylene, O; R1R2R4 = (CH2)mCH(CH2)n (m = 3, 4, n = 1, 2); R2 = H, alkyl, (un)substituted Ph, R2R3 = bond; R2, R4 = atoms necessary to form a

carboxylic ring; R3 = H, acyl; R4 = HO, F, acyloxy, tetrahydropyranyloxy, MeSO3, etc.] were prepared Thus, D-threo-1-(4-methylsulfonylphenyl)-2-phthalimido-1,3-propanediol was acetylated with AcCl followed by reduction cyclization by p-MeC6H4SO3H, and hydrolysis to give 2-(4-methylsulfonylphenyl)-3-(hydroxymethyl)-2,3-dihydrooxazolo[2,3-a]isoindol-5(9bH)-one.

L13 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:180950 HCAPLUS
DOCUMENT NUMBER: 96:180950
TITLE: D-threo-1-Aryl-2-acylamido-3-fluoro-1-propanol esters and salts and their use as antibacterial agents
INVENTOR(S): Nagabhushan, Tattanahalli L.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 15 pp. Cont.-in-part of U.S. 4,235,892.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4311857	A	19820119	US 1980-137160	19800404 <--
US 4235892	A	19801125	US 1979-9207	19790205 <--
ZA 8000478	A	19810128	ZA 1980-478	19800128 <--
ES 488154	A1	19810416	ES 1980-488154	19800131 <--
ES 494632	A1	19810816	ES 1980-494632	19800829 <--
ES 494633	A1	19810816	ES 1980-494633	19800829 <--
US 4361557	A	19821130	US 1981-291663	19810810 <--

PRIORITY APPLN. INFO.:
US 1979-9207 A2 19790205
ZA 1980-478 A 19800128
US 1980-137160 A3 19800404

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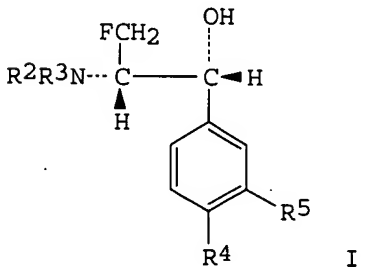

I

AB Propanols I [R2, R3 = H, NR2R3 = phthalimido, succinimido; R4, R5 = NO2, SO2R1 (R1 = Me, Et, Pr, CHMe2), SOR1, SR1, SONH2, SO2NH2, SONHR1, SO2NHR1, COR1, OR1, R1, cyano, halo, (un)substituted Ph], useful as antibacterials (no data), were prepared Thus, D-threo-HOCH2CH(NH2)CH(OH)C6H4NO2-4 was phthaloylated by phthalic anhydride and the product was fluorinated by Et2NSF3 to give D-threo-FCH2CHRCH(OH)C6H4NO2-4 (R = phthalimido) which underwent hydrazinolysis and then acylation by Cl2CHCO2Me to give I (R2 = Cl2CHCO, R3 = R5 = H, R4 = NO2).

L13 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:139433 HCAPLUS
DOCUMENT NUMBER: 94:139433
TITLE: 1-Aryl-2-acylamido-3-fluoro-1-propanols and pharmaceutical compositions containing them
INVENTOR(S): Nagabhushan, Tattanahalli Lakshminarayan
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 14437	A2	19800820	EP 1980-100477	19800131 <--
EP 14437	A3	19801029		
EP 14437	B1	19830223		
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US 4235892	A	19801125	US 1979-9207	19790205 <--
AU 8055078	A1	19800710	AU 1980-55078	19800131 <--
AU 532879	B2	19831020		
DK 8000424	A	19800806	DK 1980-424	19800131 <--
DK 159264	B	19900924		
DK 159264	C	19910218		
CA 1137106	A1	19821207	CA 1980-344851	19800131 <--
AT 2616	E	19830315	AT 1980-100477	19800131 <--
JP 55115855	A2	19800906	JP 1980-11394	19800201 <--
JP 59023300	B4	19840601		
IL 59288	A1	19840629	IL 1980-59288	19800201 <--
HU 22916	O	19820728	HU 1980-248	19800204 <--
HU 180555	B	19830328		

PRIORITY APPLN. INFO.: US 1979-9207 A 19790205
EP 1980-100477 A 19800131
OTHER SOURCE(S): MARPAT 94:139433
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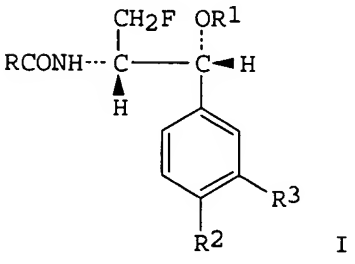

I

AB Title compds. I [R = alkyl, haloalkyl, CH2N3, CH2SO2Me, CDR4R5 (R4 = halo and R5 = Me, halomethyl, halo); R1 = H, acyl; R2 and R3 are independently H, halo, NO2, cyano, alkyl, alkoxy, alkylthio, alkanoyl, alkanesulfinyl, alkanesulfonyl, (un)substituted aminosulfinyl or sulfamoyl, Ph, halo-, alkyl-, alkoxy-, (methanesulfonyl)-, or nitrophenyl] and pharmaceutically acceptable salts of I, [R1 = carboxy-substituted acyl, amino-substituted

acyl (derived from amino acids)] were prepared by different methods and they exhibited bactericidal activity. The NH2 group of D-threo-1-(4-nitrophenyl)-2-amino-1,3-propanediol was protected by phthalic anhydride, the product treated with Et2NH-BF3 adduct, the D-threo-1-(4-nitrophenyl)-2-phthalimido-3-fluoro-1-propanol obtained was subjected to hydrazinolysis, and the primary amine product reacted with Cl2CHCO2Me to give I (R = CHCl2, R2 = NO2, R1 = R3 = H).

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	136.89	486.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.75	-24.75

FILE 'REGISTRY' ENTERED AT 15:03:24 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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DICTIONARY FILE UPDATES: 17 MAY 2006 HIGHEST RN 884739-24-6

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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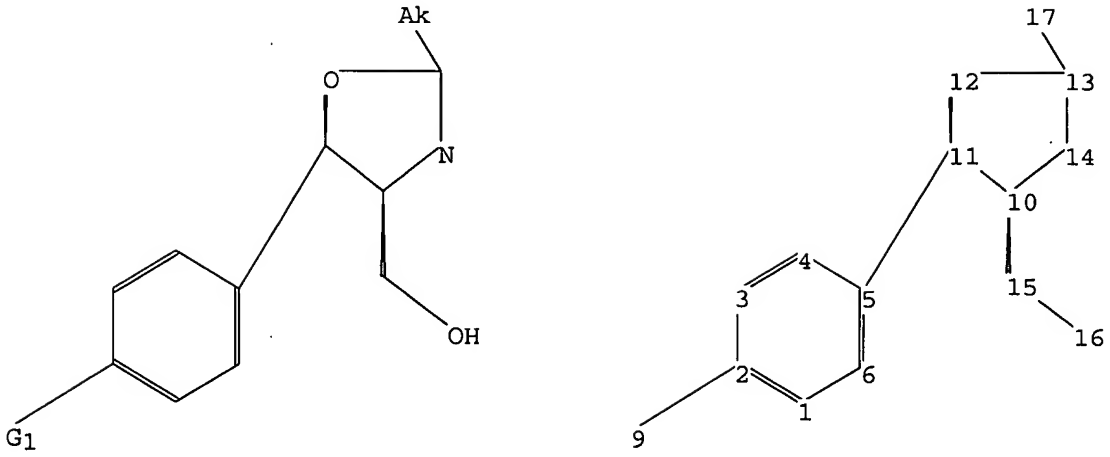

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ring nodes :
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isolated ring systems :
containing 1 : 10 :

G1:NO2,SO2,SO3H

Match level :
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13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS

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12-11 (Single Wedge).
15-10 (Single Hash).

Stereo Chiral Centers:

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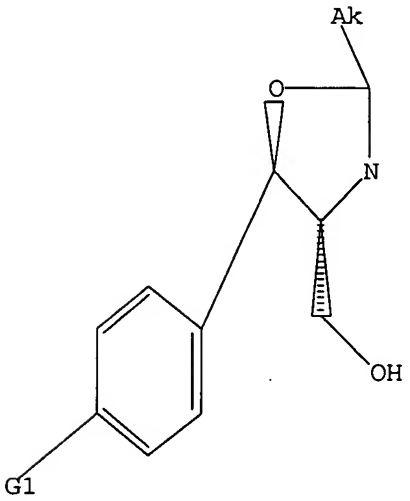

G1 NO2,SO2,SO3H

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED       18 ITERATIONS                                0 ANSWERS
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          106 TO      614
PROJECTED ANSWERS:               0 TO        0

L15            0 SEA SSS SAM L14

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100.0% PROCESSED      334 ITERATIONS                               13 ANSWERS
SEARCH TIME: 00.00.01

L16           13 SEA SSS FUL L14

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                                                 ENTRY   SESSION
FULL ESTIMATED COST                              166.94    653.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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	ENTRY	SESSION
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FILE COVERS 1907 - 18 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 17 May 2006 (20060517/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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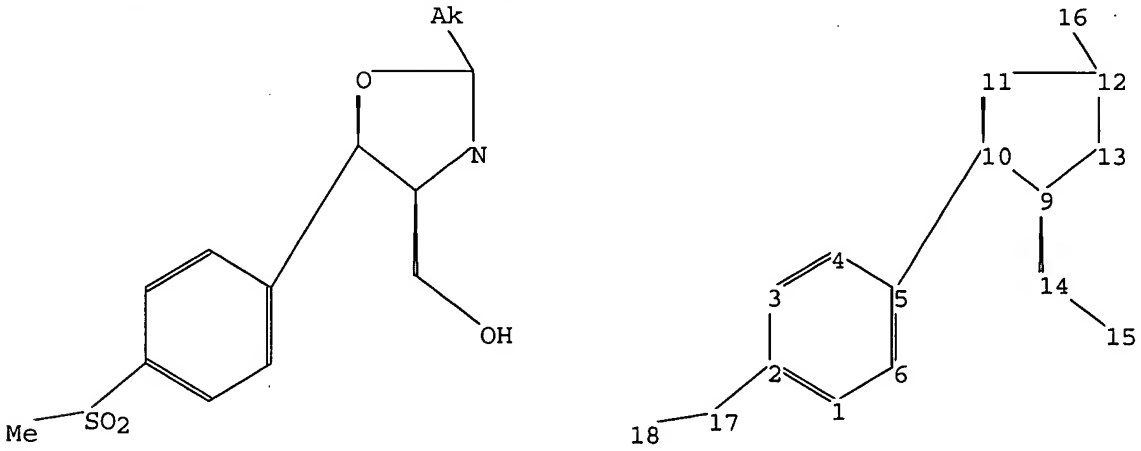

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ring nodes :
1 2 3 4 5 6 9 10 11 12 13
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2-17 5-10 9-14 12-16 14-15 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
9-13 12-13 12-16 14-15

exact bonds :
2-17 5-10 9-10 9-14 10-11 11-12 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 9 :

G1:NO2,SO2,SO3H

Match level :
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Stereo Bonds:

11-10 (Single Wedge).
14-9 (Single Hash).

Stereo Chiral Centers:

9 (Parity=Odd)
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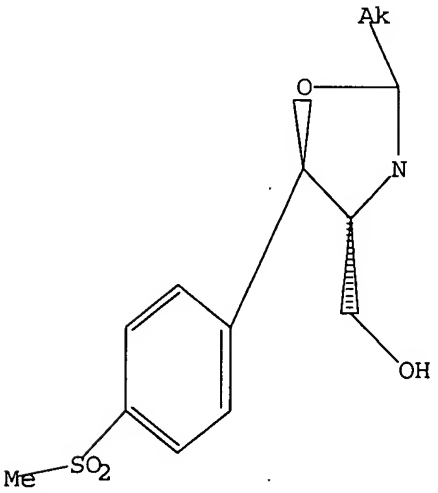

G1 NO2,SO2,SO3H

Structure attributes must be viewed using STN Express query preparation.

```
=> s l18
   REGIstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.



SAMPLE SEARCH INITIATED 15:06:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        3 TO ITERATE

100.0% PROCESSED        3 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            3 TO      163
PROJECTED ANSWERS:               0 TO        0

L19           0 SEA SSS SAM L18


L20           0 L19

=> FIL REGISTRY
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.53     666.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -24.75

FILE 'REGISTRY' ENTERED AT 15:06:50 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 MAY 2006  HIGHEST RN 884739-24-6
DICTIONARY FILE UPDATES:  17 MAY 2006  HIGHEST RN 884739-24-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
```

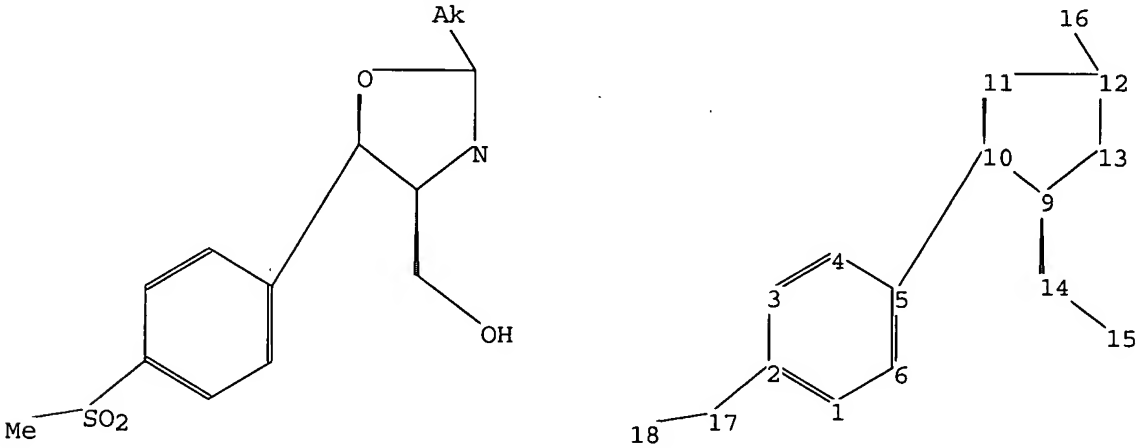
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10735892c.str



chain nodes :
14 15 16 17 18
ring nodes :
1 2 3 4 5 6 9 10 11 12 13
chain bonds :
2-17 5-10 9-14 12-16 14-15 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
9-13 12-13 12-16 14-15
exact bonds :
2-17 5-10 9-10 9-14 10-11 11-12 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 9 :

G1:NO2,SO2,SO3H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

Stereo Bonds:

11-10 (Single Wedge).
14-9 (Single Hash).

Stereo Chiral Centers:

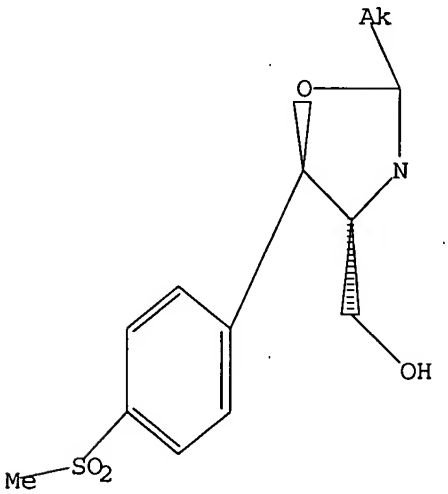
9 (Parity=Odd)
10 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 9 10

L21 STRUCTURE UPLOADED

=> d l21
L21 HAS NO ANSWERS
L21 STR



G1 NO2,SO2,SO3H

Structure attributes must be viewed using STN Express query preparation.

=> s l21
SAMPLE SEARCH INITIATED 15:07:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 0 TO 0

L22 0 SEA SSS SAM L21

=> s l21 sss full

```
FULL SEARCH INITIATED 15:07:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       55 TO ITERATE

100.0% PROCESSED       55 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

L23           2 SEA SSS FUL L21

=> d his

     (FILE 'HOME' ENTERED AT 14:52:21 ON 18 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 14:52:52 ON 18 MAY 2006
L1              STRUCTURE UPLOADED
L2            1 S L1
L3            3 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:53:19 ON 18 MAY 2006
L4          326 S L3
L5           17 S L4 AND PROCESS
L6           10 S L5 AND PY<=2003

     FILE 'REGISTRY' ENTERED AT 14:57:02 ON 18 MAY 2006
L7              STRUCTURE UPLOADED
L8            1 S L7
L9            8 S L7 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:57:53 ON 18 MAY 2006
L10         329 S L9
L11         204 S L10 AND PY<=2003
L12          31 S L11 AND P/DT
L13          23 S L12 AND US/PC

     FILE 'REGISTRY' ENTERED AT 15:03:24 ON 18 MAY 2006
L14             STRUCTURE UPLOADED
L15           0 S L14
L16          13 S L14 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:03:58 ON 18 MAY 2006
L17           6 S L16
L18             STRUCTURE UPLOADED
                S L18

     FILE 'REGISTRY' ENTERED AT 15:06:27 ON 18 MAY 2006
L19           0 S L18

     FILE 'HCAPLUS' ENTERED AT 15:06:28 ON 18 MAY 2006
L20           0 S L19

     FILE 'REGISTRY' ENTERED AT 15:06:50 ON 18 MAY 2006
L21             STRUCTURE UPLOADED
L22           0 S L21
L23           2 S L21 SSS FULL

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             166.94     833.13
```

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00     -24.75

FILE 'HCAPLUS' ENTERED AT 15:07:34 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 18 May 2006  VOL 144 ISS 21
FILE LAST UPDATED: 17 May 2006  (20060517/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 14:52:21 ON 18 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 14:52:52 ON 18 MAY 2006
L1              STRUCTURE UPLOADED
L2            1 S L1
L3            3 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:53:19 ON 18 MAY 2006
L4          326 S L3
L5           17 S L4 AND PROCESS
L6           10 S L5 AND PY<=2003

     FILE 'REGISTRY' ENTERED AT 14:57:02 ON 18 MAY 2006
L7              STRUCTURE UPLOADED
L8            1 S L7
L9            8 S L7 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:57:53 ON 18 MAY 2006
L10         329 S L9
L11         204 S L10 AND PY<=2003
L12          31 S L11 AND P/DT
L13          23 S L12 AND US/PC

     FILE 'REGISTRY' ENTERED AT 15:03:24 ON 18 MAY 2006
L14             STRUCTURE UPLOADED
L15           0 S L14
L16          13 S L14 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:03:58 ON 18 MAY 2006
```

```
L17             6 S L16
L18               STRUCTURE UPLOADED
                  S L18

     FILE 'REGISTRY' ENTERED AT 15:06:27 ON 18 MAY 2006
L19             0 S L18

     FILE 'HCAPLUS' ENTERED AT 15:06:28 ON 18 MAY 2006
L20             0 S L19

     FILE 'REGISTRY' ENTERED AT 15:06:50 ON 18 MAY 2006
L21               STRUCTURE UPLOADED
L22             0 S L21
L23             2 S L21 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:07:34 ON 18 MAY 2006

=> s l16
L24             6 L16

=> s l23
L25             2 L23

=> d l25 ibib abs hitstr tot

L25  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:303443  HCAPLUS
DOCUMENT NUMBER:          142:373820
TITLE:                    Process for preparing florfenicol from
                          (1R,2R)-2-amino-1-[4-(methanesulfonyl)phenyl-1,3-
                          propanediol hydrochloride
INVENTOR(S):              Handa, Vijay Kumar; Gupta, Arun Kumar; Sivakumaran,
                          Meenakshisundaram
PATENT ASSIGNEE(S):       India
SOURCE:                   U.S. Pat. Appl. Publ., 11 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     --------------       ----   --------    -------------------      --------
     US 2005075506         A1    20050407    US 2003-735892           20031216
PRIORITY APPLN. INFO.:                       IN 2003-CH806       A    20031006
OTHER SOURCE(S):          CASREACT 142:373820; MARPAT 142:373820
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   The present invention is directed to a new process of preparing highly pure
     Florfenicol (I). The invention is further directed to new oxazolidine
     derivs. II [R1 = SMe, SOMe, SO2Me, NO2; R2 = alkyl, haloalkyl, cycloalkyl,
     alkenyl, alkynyl, alkoxy, aralkyl, aralkenyl, aryl, aromatic heterocycle; R3
     = H, alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, aralkyl,
     aralkenyl, aryl, aromatic heterocycle; R4 = H, alkyl, haloalkyl, cycloalkyl,
```

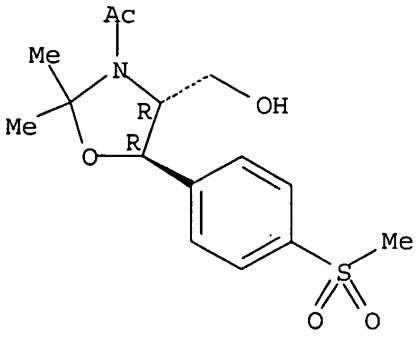
(un)substituted Ph, (un)substituted phenylalkyl (Ph optionally substituted with 1 - 2 halogen, alkyl, alkoxy, NO2); R5 = OH, F] useful in making I and processes of making these derivs. The process comprises: (i) reacting 2-amino-1-phenylpropane-1,3-diols III (R1 =) with R2R3C:X (X = O, OMe, CH2) in the presence of a first organic base and a first solvent to give oxazoline IV; (ii) reacting oxazoline IV with R4COCl in the presence of a second base in a second solvent to give II (R5 = OH); (iii) fluorinating II (R5 = OH) in the presence of a third organic solvent to give II (R5 = F); (iv) hydrolysis of II (R5 = F) with an acid; and (v) acylation of the hydrolyzate with Cl2CHCO2H, or a reactive derivative thereof, to give I. Examples of such intermediates include (4R,5R)-3-acetyl-2,2-dimethyl-4-hydroxymethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine (II; R1 = SO2Me, R2 = R3 = R4 = Me, R5 = OH) and (4S,5R)-3-acetyl-2,2-dimethyl-4-fluoromethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine (II; R1 = SO2Me, R2 = R3 = R4 = Me, R5 = F).

IT **849419-83-6P**, (4R,5R)-3-Acetyl-2,2-dimethyl-4-hydroxymethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, fluorination, acetylation and regioselective deacetylation of; preparation of florfenicol from (1R,2R)-2-amino-1-[4-(methanesulfonyl)phenyl-1,3-propanediol hydrochloride)

RN 849419-83-6 HCAPLUS

CN 4-Oxazolidinemethanol, 3-acetyl-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]-, (4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L25 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:471094 HCAPLUS

DOCUMENT NUMBER: 115:71094

TITLE: Multi-step process for the stereochemical inversion of (2S,3S)-2-amino-3-phenyl-1,3-propanediols into their (2R,3R) enantiomers useful as antibiotic intermediates

INVENTOR(S): Villa, Marco; Giordano, Claudio; Cavicchioli, Silvia; Levi, Silvio

PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy

SOURCE: Eur. Pat. Appl., 4 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423705	A2	19910424	EP 1990-119803	19901016
EP 423705	A3	19920506		
EP 423705	B1	19950111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2066931	T3	19950316	ES 1990-119803	19901016
JP 03188050	A2	19910816	JP 1990-283237	19901019
JP 2852801	B2	19990203		
US 5202484	A	19930413	US 1990-599881	19901019
US 5284966	A	19940208	US 1992-992747	19921218
US 5401852	A	19950328	US 1993-127506	19930928
PRIORITY APPLN. INFO.:			IT 1989-22075 A	19891020
			US 1990-599881 A1	19901019
			US 1992-992747 A3	19921218

OTHER SOURCE(S): MARPAT 115:71094

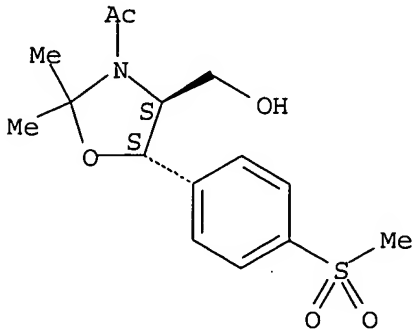
AB Both stereogenic centers of phenylaminopropanediols 4-XC6H4CH(OH)CH(NH2)CH2OH (I; X = H, NO2, MeS, MeSO, MeSO2) are inverted in 4 steps: (1) protection of the amine and secondary alc. function, (2) oxidation of the -CH2OH group to -CHO or -CO2H or derivs. and epimerization of the adjacent C atom, (3) reduction back to -CH2OH, and (4) deprotection and epimerization of the benzylic C atom. The method is useful for recycling waste (2S,3S)-I to (2R,3R)-I, which are intermediates for antibiotics such as chloroamphenicol and florfenicol. Thus, diacetylation (at -NH2 and -CH2OH groups) of (2S,3S)-I (X = MeS) with AcCl and Et3N in CH2Cl2 and cyclization with Me2C(OMe)2 gave (4S,5S)-5-(4-methylthiophenyl)-4-acetoxymethyl-3-acetyl-2,2-dimethyl-1,3-oxazolidine, which was treated with KOH in MeOH to give the 4-hydroxymethyl analog [(4S,5S)-II]. Oxidation of II with Me2SO and oxalyl chloride gave the 4-formyl analog (4R,5S), which was epimerized by DABCO at 40° to its (4S,5S)-isomer. Reduction back to (4R,5S)-II with NaBH4, followed by hydrolysis/epimerization with aqueous p-MeC6H4SO3H at 95° gave (2R,3R)-I (X = MeS), i.e. (2R,3R)-thiomicamine.

IT **135204-65-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 135204-65-8 HCAPLUS

CN 4-Oxazolidinemethanol, 3-acetyl-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]-, (4S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 124 ibib abs hitstr tot

L24 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:303443 HCAPLUS
DOCUMENT NUMBER: 142:373820
TITLE: Process for preparing florfenicol from (1R,2R)-2-amino-1-[4-(methanesulfonyl)phenyl-1,3-propanediol hydrochloride
INVENTOR(S): Handa, Vijay Kumar; Gupta, Arun Kumar; Sivakumaran, Meenakshisunderam
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005075506	A1	20050407	US 2003-735892		20031216
PRIORITY APPLN. INFO.:			IN 2003-CH806	A	20031006

OTHER SOURCE(S): CASREACT 142:373820; MARPAT 142:373820
GI

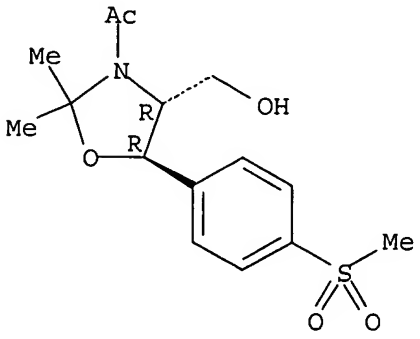
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to a new process of preparing highly pure Florfenicol (I). The invention is further directed to new oxazolidine derivs. II [R1 = SMe, SOMe, SO2Me, NO2; R2 = alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, aralkyl, aralkenyl, aryl, aromatic heterocycle; R3 = H, alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, aralkyl, aralkenyl, aryl, aromatic heterocycle; R4 = H, alkyl, haloalkyl, cycloalkyl, (un)substituted Ph, (un)substituted phenylalkyl (Ph optionally substituted with 1 - 2 halogen, alkyl, alkoxy, NO2); R5 = OH, F] useful in making I and processes of making these derivs. The process comprises: (i) reacting 2-amino-1-phenylpropane-1,3-diols III (R1 =) with R2R3C:X (X = O, OMe, CH2) in the presence of a first organic base and a first solvent to give oxazoline IV; (ii) reacting oxazoline IV with R4COCl in the presence of a second base in a second solvent to give II (R5 = OH); (iii) fluorinating II (R5 = OH) in the presence of a third organic solvent to give II (R5 = F); (iv) hydrolysis of II (R5 = F) with an acid; and (v) acylation of the hydrolyzate with Cl2CHCO2H, or a reactive derivative thereof, to give I. Examples of such intermediates include (4R,5R)-3-acetyl-2,2-dimethyl-4-hydroxymethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine (II; R1 = SO2Me, R2 = R3 = R4 = Me, R5 = OH) and (4S,5R)-3-acetyl-2,2-dimethyl-4-fluoromethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine (II; R1 = SO2Me, R2 = R3 = R4 = Me, R5 = F).

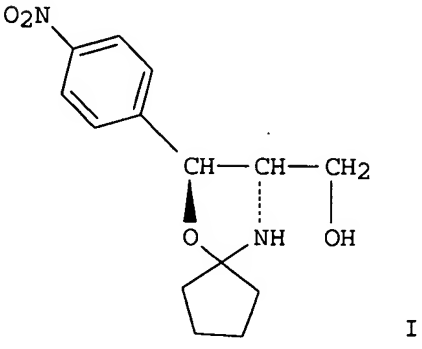
IT **849419-83-6P**, (4R,5R)-3-Acetyl-2,2-dimethyl-4-hydroxymethyl-5-[4-(methylsulfonyl)phenyl]-1,3-oxazolidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, fluorination, acetylation and regioselective deacetylation of; preparation of florfenicol from
(1R,2R)-2-amino-1-[4-(methanesulfonyl)phenyl-

1,3-propanediol hydrochloride)
RN 849419-83-6 HCAPLUS
CN 4-Oxazolidinemethanol, 3-acetyl-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]-, (4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L24 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:163757 HCAPLUS
DOCUMENT NUMBER: 143:115469
TITLE: Regioselectivity of the interaction of (1S,2S)-2-amino-1-(4-nitrophenyl)-1,3-propanediol with some symmetrical ketones
AUTHOR(S): Madesclaire, M.; Coudert, P.; Zaitsev, V. P.; Zaitseva, Yu. V.
CORPORATE SOURCE: Universite d'Auvergne, Faculte de Farmacie, Clermont-Ferrand, Fr.
SOURCE: Chemistry of Heterocyclic Compounds (New York, NY, United States) (2004), 40(10), 1310-1314
CODEN: CHCCAL; ISSN: 0009-3122
PUBLISHER: Springer Science+Business Media, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:115469
GI



I

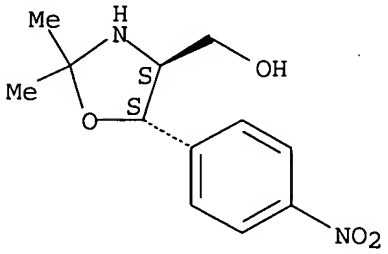
AB The interaction of (1S,2S)-2-amino-1-(4-nitrophenyl)-1,3-propanediol with a series of sym. ketones has been studied. As a result regioisomeric

oxazolidines, e. g. I, were formed in a ratio of 85:15. These oxazolidines decompose readily under the action of hydrazine.

IT **116705-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regioselective preparation of N,O-alkylidene- and N,O-cycloalkylideneamino(nitrophenyl)propandiols via condensation of amino(nitrophenyl)propandiol with sym. ketones and evaluation of their stability to hydrazinolysis)

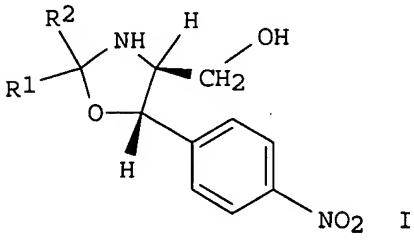
RN 116705-69-2 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-dimethyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:356010 HCAPLUS
DOCUMENT NUMBER: 137:216899
TITLE: A highly efficient chemoselective cyclocondensation of threo-(1S,2S)-2-amino-1-(4-nitrophenyl)-1,3-propanediol with ketones and isomerization of the condensates
AUTHOR(S): Shan, Zixing; Wan, Boyong; Wang, Guoping
CORPORATE SOURCE: Department of Chemistry, College of Chemistry and Molecular Science, Wuhan University, Wuhan, 430072, Peop. Rep. China
SOURCE: Helvetica Chimica Acta (2002), 85(4), 1062-1068
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:216899
GI



I

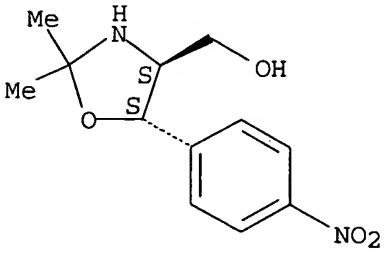
AB A convenient procedure for highly efficient chemoselective cyclization of threo-(1S,2S)-2-amino-1-(4-nitrophenyl)propane-1,3-diol with some ketones (cyclohexanone, acetone, 2-butanone, 3-pentanone) is described. The structures of the condensates (oxazolidines I (R1/R2 = (CH2)5, Me/Me, Me/Et, Et/Et); e.g. threo-(2S,3S)-3-hydroxymethyl-2-(4-nitrophenyl)-1-oxa-4-azaspiro[4,5]decane from cyclohexanone) were elucidated on the basis of the IR, 1H- and 13C-NMR, and mass spectra. Ring-ring tautomerism in 2-aminopropane-1,3-diol chemical is reported for the 1st time. A combined EHMO/AM1/MNDO study of four possible chain-ring and ring-ring tautomers of the cyclohexanone product showed very similar heats of formation and total energies.

IT **116705-69-2P**, (4S,5S)-4-(Hydroxymethyl)-2,2-dimethyl-5-(4-nitrophenyl)oxazolidine **116705-71-6P**, (4S,5S)-2,2-Diethyl-4-(hydroxymethyl)-5-(4-nitrophenyl)oxazolidine **457653-93-9P**, (4S,5S)-2-Ethyl-4-(hydroxymethyl)-2-methyl-5-(4-nitrophenyl)oxazolidine
RL: SPN (Synthetic preparation); PREP (Preparation)
(highly efficient chemoselective synthesis of)

RN 116705-69-2 HCAPLUS

CN 4-Oxazolidinemethanol, 2,2-dimethyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

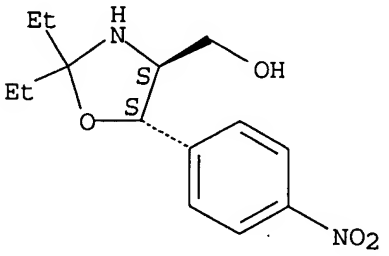
Absolute stereochemistry. Rotation (+).



RN 116705-71-6 HCAPLUS

CN 4-Oxazolidinemethanol, 2,2-diethyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

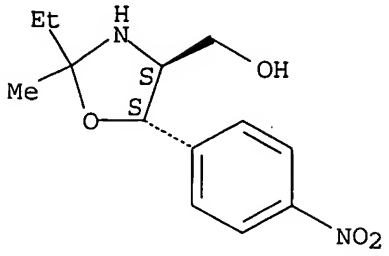
Absolute stereochemistry. Rotation (+).



RN 457653-93-9 HCAPLUS

CN 4-Oxazolidinemethanol, 2-ethyl-2-methyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:471094 HCAPLUS
DOCUMENT NUMBER: 115:71094
TITLE: Multi-step process for the stereochemical inversion of (2S,3S)-2-amino-3-phenyl-1,3-propanediols into their (2R,3R) enantiomers useful as antibiotic intermediates
INVENTOR(S): Villa, Marco; Giordano, Claudio; Cavicchioli, Silvia; Levi, Silvio
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy
SOURCE: Eur. Pat. Appl., 4 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423705	A2	19910424	EP 1990-119803	19901016
EP 423705	A3	19920506		
EP 423705	B1	19950111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2066931	T3	19950316	ES 1990-119803	19901016
JP 03188050	A2	19910816	JP 1990-283237	19901019
JP 2852801	B2	19990203		
US 5202484	A	19930413	US 1990-599881	19901019
US 5284966	A	19940208	US 1992-992747	19921218
US 5401852	A	19950328	US 1993-127506	19930928

PRIORITY APPLN. INFO.: IT 1989-22075 A 19891020
US 1990-599881 A1 19901019
US 1992-992747 A3 19921218

OTHER SOURCE(S): MARPAT 115:71094

AB Both stereogenic centers of phenylaminopropanediols 4-XC6H4CH(OH)CH(NH2)CH2OH (I; X = H, NO2, MeS, MeSO, MeSO2) are inverted in 4 steps: (1) protection of the amine and secondary alc. function, (2) oxidation of the -CH2OH group to -CHO or -CO2H or derivs. and epimerization of the adjacent C atom, (3) reduction back to -CH2OH, and (4) deprotection and epimerization of the benzylic C atom. The method is useful for recycling waste (2S,3S)-I to (2R,3R)-I, which are intermediates for antibiotics such as chloroamphenicol and florfenicol. Thus, diacetylation (at -NH2 and -CH2OH groups) of (2S,3S)-I (X = MeS) with AcCl and Et3N in CH2Cl2 and cyclization with Me2C(OMe)2 gave (4S,5S)-5-(4-methylthiophenyl)-4-acetoxymethyl-3-acetyl-2,2-dimethyl-1,3-oxazolidine, which was treated with KOH in MeOH to give the 4-hydroxymethyl analog [(4S,5S)-II]. Oxidation of II with Me2SO and oxalyl chloride gave the 4-formyl analog (4R,5S), which was epimerized by DABCO at 40° to its (4S,5S)-isomer. Reduction

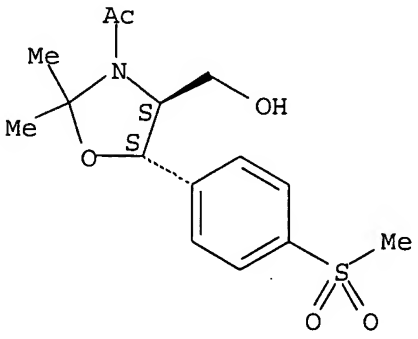
back to (4R,5S)-II with NaBH4, followed by hydrolysis/epimerization with aqueous p-MeC6H4SO3H at 95° gave (2R,3R)-I (X = MeS), i.e. (2R,3R)-thiomicamine.

IT **135204-65-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 135204-65-8 HCAPLUS

CN 4-Oxazolidinemethanol, 3-acetyl-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]-, (4S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:570565 HCAPLUS

DOCUMENT NUMBER: 109:170565

TITLE: Separation of the enantiomers of (S,R)-1,1'-bi-2,2'-naphthyl hydrogen phosphate by (1R,2R)- and (1S,2S)-2-amino-1-(4-nitrophenyl)-1,3-propanediol

AUTHOR(S): Werner, W.; Tresselt, D.; Ihn, W.; Ziebell, G.

CORPORATE SOURCE: Zentalinst. Mikrobiol. Exp. Ther., Akad. Wiss. DDR, Jena, DDR-6900, Ger. Dem. Rep.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1987), 329(6), 1031-8
CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 109:170565

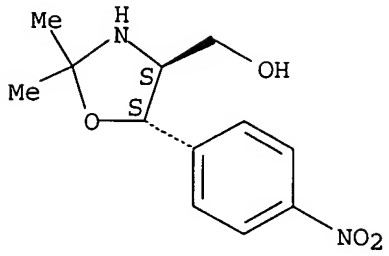
AB The resolution of the diastereoisomeric salts of the title compds. was possible in the presence of a ketone, especially acetone, which forms oxazolidines with the chiral bases. These oxazolidines afforded separable salts with the (S,R)-1,1'-Bi-2,2'-naphthyl hydrogen phosphate. The structures of these salts were proved by NMR spectroscopy and mass spectrometry.

IT **116705-69-2P 116705-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and diastereomeric resolution by, of naphthyl hydrogen phosphate
adduct)

RN 116705-69-2 HCAPLUS

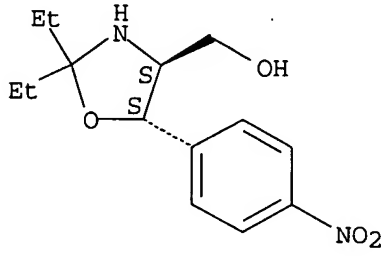
CN 4-Oxazolidinemethanol, 2,2-dimethyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 116705-71-6 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-diethyl-5-(4-nitrophenyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

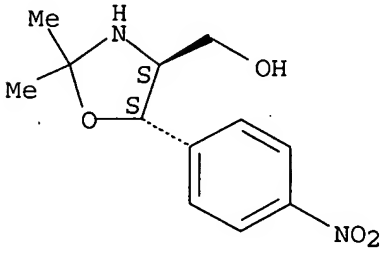


IT **116705-72-7P 116705-74-9P 116705-75-0P**
116705-77-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 116705-72-7 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-dimethyl-5-(4-nitrophenyl)-, (4S-trans)-, compd. with (S)-4-hydroxydinaphtho[2,1-d:1',2'-f][1,3,2]dioxaphosphepin 4-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

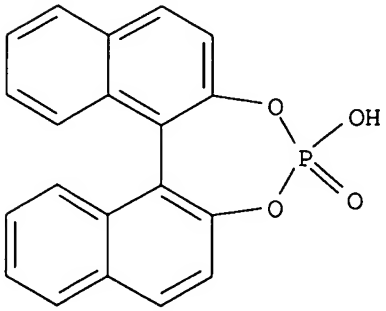
CRN 116705-69-2
CMF C12 H16 N2 O4

Absolute stereochemistry. Rotation (+).



CM 2

CRN 35193-64-7
CMF C20 H13 O4 P

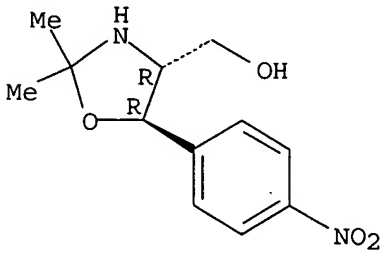


RN 116705-74-9 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-dimethyl-5-(4-nitrophenyl)-, (4R-trans)-, compd. with (R)-hydroxydinaphtho[2,1-d:1',2'-f][1,3,2]dioxaphosphepin 4-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

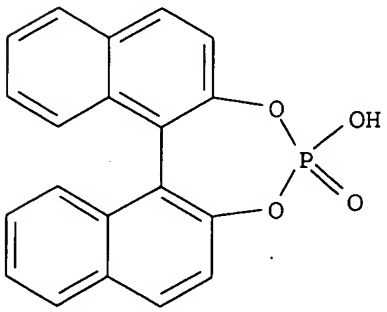
CRN 116705-73-8
CMF C12 H16 N2 O4

Absolute stereochemistry.



CM 2

CRN 39648-67-4
CMF C20 H13 O4 P

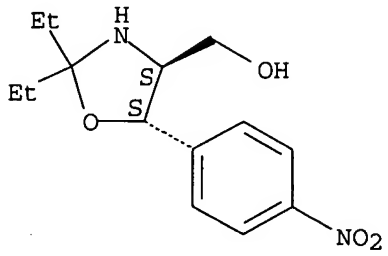


RN 116705-75-0 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-diethyl-5-(4-nitrophenyl)-, (4S-trans)-, compd. with (S)-4-hydroxydinaphtho[2,1-d:1',2'-f][1,3,2]dioxaphosphepin 4-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

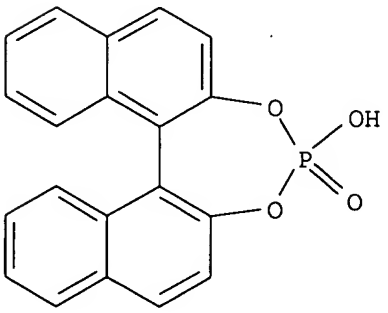
CRN 116705-71-6
CMF C14 H20 N2 O4

Absolute stereochemistry. Rotation (+).



CM 2

CRN 35193-64-7
CMF C20 H13 O4 P

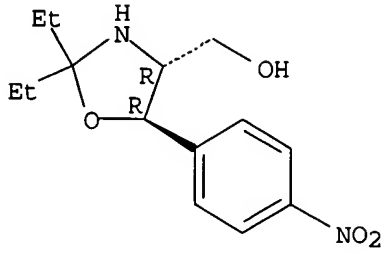


RN 116705-77-2 HCAPLUS
CN 4-Oxazolidinemethanol, 2,2-diethyl-5-(4-nitrophenyl)-, (4R-trans)-, compd. with (R)-4-hydroxydinaphtho[2,1-d:1',2'-f][1,3,2]dioxaphosphepin 4-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

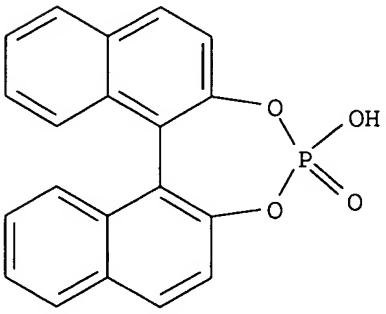
CRN 116705-76-1
CMF C14 H20 N2 O4

Absolute stereochemistry.



CM 2

CRN 39648-67-4
CMF C20 H13 O4 P



L24 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1957:1754 HCAPLUS
DOCUMENT NUMBER: 51:1754
ORIGINAL REFERENCE NO.: 51:370d-i
TITLE: α-Phenylserine series. IV
AUTHOR(S): Bergmann, Ernest D.; Resnick, H.
CORPORATE SOURCE: Ministry Defence, Tel Aviv, Israel
SOURCE: Journal of the Chemical Society (1956) 1662-5
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 49, 3069f. Condensation of threo- (I) and erythro-2-amino-1-p-nitrophenylpropane-1,3-diol (II), of threo- and erythro-phenylserine Et ester (III) and (IV), and of (±)-ephedrine (V) with aldehydes and ketones was studied. The structures of the products (oxazolidines or Schiff bases) were determined with the aid of the infrared spectra. No difference was observed in the behavior of the diastereoisomerides; the reactions are not accompanied by change in configuration. From I and II and excess Cl2CHCHO (VI), diastereoisomeric 3,7-dioxa-1-azabicyclo[3.3.0] octane derivs. (VII) and (VIII) were formed by double condensation. I (6.4 g.) and 3.4 g. VI in C6H6 refluxed azeotropically until the theoretical amount of H2O was collected gave threo-2-dichloromethyl-4-hydroxymethyl-5-p-nitrophenyloxazolidine, m. 175-6° (from MeOH-Et2O). Analogously, the following substances were prepared: erythro-2-dichloromethyl-4-hydroxymethyl-5-p-nitrophenyloxazolidine from II as needles, m. 203-4° (from MeOH); threo-2,2-diethyl-4-

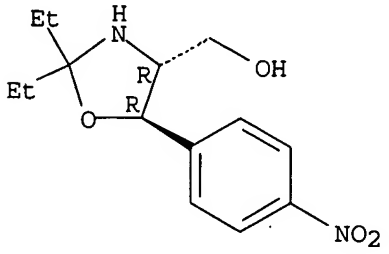
hydroxymethyl-5-p-nitrophenyloxazolidine, prisms, m. 124-5° (from methyleyclohexane) [the erythro isomer, m. 131-2° (from Me2CO-ligroine)]; threo-4-hydroxymethyl-5-p-nitrophenyloxazolidine-2-spirocyclohexane, m. 107-8° (erythro form, m. 125-6°); threo-2-benzylideneamino-1-p-nitrophenylpropane-1,3-diol, m. 152-3° (from MeOH). Azeotropic distillation 4 hrs. of 6.4 g. I and 6.9 g. VI gave 2 mole equivs. of H2O and VII, m. 193-8°. II similarly yielded VIII as needles, m. 178-82°. III (3.1 g.) and 1.5 g. cyclohexanone in PhMe subjected to azeotropic distillation for 2 hrs. yielded threo-N-cyclohexylidenephenylserine, m. 61-2°. Condensation of IV in C6H6 3 hrs. yielded the erythro ester, m. 64-5°. threo-N-Benzylidenephenylserine Et ester formed leaflets, m. 98-9°. V (4.95 g.) and 5.94 g. cyclohexanone refluxed 4 hrs. in xylene with a trace of I gave 55% erythro-3,4-dimethyl-5-phenyloxazolidine-2-spirocyclohexane, m. 78-9° (from iso-PrOH). The reaction carried out as above but without I required 7 hrs., yielded 22% erythro-3,4-dimethyl-5-phenyloxazolidine-2-spirocyclopentane, b23 178-80°, b3 140-1° nD25 1.5240, d26 1.0270, [M]D 68.70. erythro-3,4-Dimethyl-2-m-nitrophenyl-5-phenyloxazolidine m. 75.5-76.5°. erythro-2-Dichloromethyl-3,4-dimethyl-5-phenyloxazolidine m. 207-8°. Several of the oxazolidines, when tested for bacteriostatic or bactericidal activity against Escherichia coli, showed no activity in doses of 2.5-50 μg./ml. This tends to show that for the action of the antibiotic (chloramphenicol) an open structure of the side chain is essential. The infrared and ultraviolet absorption spectra values were given for the above-described compds.

IT **879406-42-5**, 4-Oxazolidinemethanol, 2,2-diethyl-5-(p-nitrophenyl)-, threo- **879406-44-7**, 4-Oxazolidinemethanol, 2-(dichloromethyl)-5-(p-nitrophenyl)-, threo-
(preparation of)

RN 879406-42-5 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

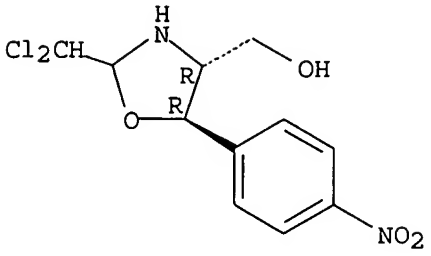
Relative stereochemistry.



RN 879406-44-7 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.00	884.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.00	-30.75

STN INTERNATIONAL LOGOFF AT 15:10:12 ON 18 MAY 2006